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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1         Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2         "Ask CAS" for self-help around the clock
 NEWS  3  May 12  EXTEND option available in structure searching
 NEWS  4  May 12  Polymer links for the POLYLINK command completed in REGISTRY
 NEWS  5  May 27  New UPM (Update Code Maximum) field for more efficient patent
                 SDIs in CAplus
 NEWS  6  May 27  CAplus super roles and document types searchable in REGISTRY
 NEWS  7  Jun 22  STN Patent Forums to be held July 19-22, 2004
 NEWS  8  Jun 28  Additional enzyme-catalyzed reactions added to CASREACT
 NEWS  9  Jun 28  ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
                 and WATER from CSA now available on STN(R)
 NEWS 10  Jul 12  BEILSTEIN enhanced with new display and select options,
                 resulting in a closer connection to BABS

 NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
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 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 13:02:55 ON 21 JUL 2004

=> file reg
COST IN U.S. DOLLARS                              SINCE FILE       TOTAL
                                                       ENTRY     SESSION
FULL ESTIMATED COST                                     0.21        0.21

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STRUCTURE FILE UPDATES:    20 JUL 2004  HIGHEST RN 713489-00-0
DICTIONARY FILE UPDATES:  20 JUL 2004  HIGHEST RN 713489-00-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
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Experimental and calculated property data are now available. For more
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http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10684940.1

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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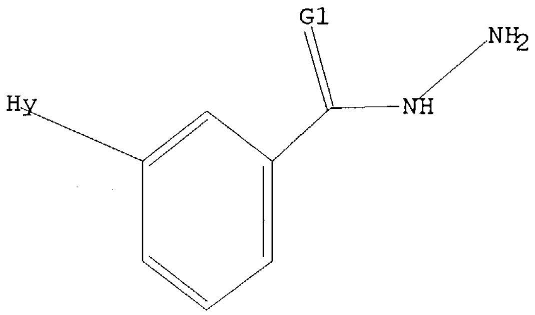

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G1 O,S


Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss full
FULL SEARCH INITIATED 13:03:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 262294 TO ITERATE

100.0% PROCESSED  262294 ITERATIONS                          77 ANSWERS
SEARCH TIME: 00.00.07

L2           77 SEA SSS FUL L1

=> file caplus
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COST IN U.S. DOLLARS                                 SINCE FILE      TOTAL
                                                          ENTRY    SESSION
FULL ESTIMATED COST                                      155.42     155.63

FILE 'CAPLUS' ENTERED AT 13:03:38 ON 21 JUL 2004
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FILE COVERS 1907 - 21 Jul 2004  VOL 141 ISS 4
FILE LAST UPDATED: 20 Jul 2004  (20040720/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l2
L3           62 L2

=> s l3 and pyrimidone
L4            1 L3 AND PYRIMIDONE

=> s l3 and triazinone
L5            0 L3 AND TRIAZINONE

=> s l3 and trizin-trione
L6            0 L3 AND TRIZIN-TRIONE

=> s l3 and phthalazinone
L7            0 L3 AND PHTHALAZINONE

=> s l3 and phthalazine
L8            0 L3 AND PHTHALAZINE

=> s l3 and morpholinone
L9            0 L3 AND MORPHOLINONE

=> s l3 and morpholine
L10           9 L3 AND MORPHOLINE

=> d

L10  ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:390252  CAPLUS
DN   140:406823
TI   Preparation of quinoxaline derivatives as Cdk inhibitors
```

```
IN    Hirai, Hiroshi; Kawanishi, Nobuhiko; Hirose, Masaaki; Sugimoto, Tetsuya;
      Kamijyo, Kaori; Shibata, Jun; Masutani, Kouta
PA    Banyu Pharmaceutical Co., Ltd., Japan
SO    PCT Int. Appl., 306 pp.
      CODEN: PIXXD2
DT    Patent
LA    Japanese
FAN.CNT 1
      PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
      ---------------   ----  --------      ---------------  --------
PI    WO 2004039809      A1   20040513      WO 2003-JP13707  20031027
          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
              GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
              LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
              OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
              TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ,
              BY, KG, KZ, MD
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
              NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
              GW, ML, MR, NE, SN, TD, TG
PRAI JP 2002-313588    A    20021029
OS    MARPAT 140:406823
RE.CNT  12      THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
                ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> s l3 and  herbicide
L11          0 L3 AND  HERBICIDE

=> sl3 and defoliation
SL3 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l3 and defoliation
L12          0 L3 AND DEFOLIATION

=> d l3 fbib hitstr abs total

L3    ANSWER 1 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN    2004:390252  CAPLUS
DN    140:406823
TI    Preparation of quinoxaline derivatives as Cdk inhibitors
IN    Hirai, Hiroshi; Kawanishi, Nobuhiko; Hirose, Masaaki; Sugimoto, Tetsuya;
      Kamijyo, Kaori; Shibata, Jun; Masutani, Kouta
PA    Banyu Pharmaceutical Co., Ltd., Japan
SO    PCT Int. Appl., 306 pp.
      CODEN: PIXXD2
DT    Patent
LA    Japanese
FAN.CNT 1
      PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
      ---------------   ----  --------      ---------------  --------
PI    WO 2004039809      A1   20040513      WO 2003-JP13707  20031027
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GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ,
BY, KG, KZ, MD

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

JP 2002-313588 A 20021029

OS MARPAT 140:406823

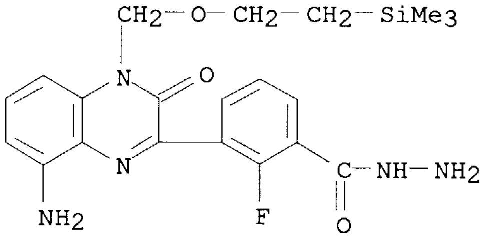
IT **688809-01-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinoxaline derivs. as Cdk inhibitors)

RN 688809-01-0 CAPLUS

CN Benzoic acid, 3-[8-amino-3,4-dihydro-3-oxo-4-[[2-(trimethylsilyl)ethoxy]methyl]-2-quinoxalinyl]-2-fluoro-, hydrazide (9CI) (CA INDEX NAME)



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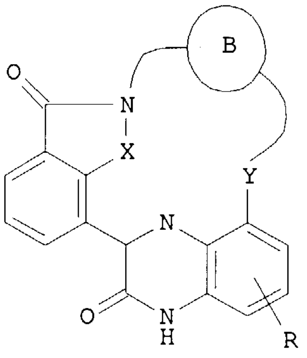

I

AB The title compds. I [X is NH, S, or the like; Y is O or the like; ring B is -B1(B1')B2(B2')B3(B3')B4(B4')B5(B5')-, etc.; B1 - B5 are each independently CH, N, or the like; and B1' - B5' are each independently hydrogen or the like; and R is hydrogen, lower alkyl, or the like] are

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     prepared  Compds. of this invention in vitro showed IC50 values of 1.6 nM to
     34 nM against cyclin D2-cdk4.
RE.CNT  12     THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 2 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:370899  CAPLUS
DN   140:391194
TI   Preparation of pyrrolidones with anti-HIV activity
IN   Wu, Baogen; He, Yun; Ngyuen, Truc; Kuhen, Kelli L.; Ellis, David Archer;
     Jiang, Tao
PA   IRM LLC, Bermuda
SO   PCT Int. Appl., 201 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   WO 2004037784      A2   20040506      WO 2003-US33560  20031021
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD
        RW:  GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
                                           US 2002-420480PP 20021021
                                           US 2002-422619PP 20021030
OS   MARPAT 140:391194
IT   686711-74-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of 4-phenylpyrrolidin-2-ones with anti-HIV activity)
RN   686711-74-0  CAPLUS
CN   Benzoic acid, 3-[4-[3-(cyclopentyloxy)-4-methoxyphenyl]-2-oxo-1-
     pyrrolidinyl]-, hydrazide (9CI)  (CA INDEX NAME)
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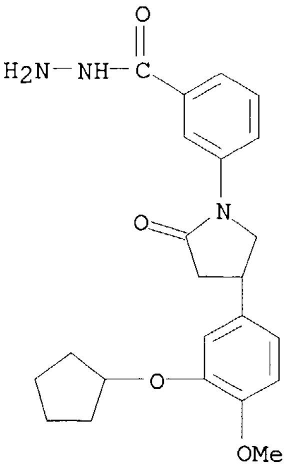

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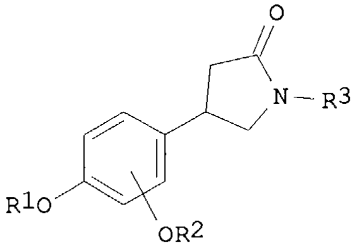

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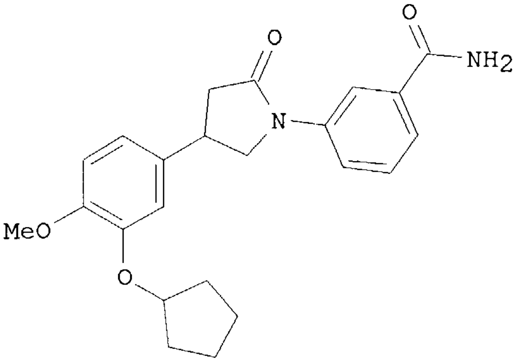

II

AB The present invention relates to inhibition of viruses, e.g., HIV using pyrrolidones I and compds. related to pyrrolidones I [R1 = H, alkyl, cycloalkyl; R2 = (un)substituted Ph, CH2Ph, cycloalkyl; R3 = (un)substituted pyridyl, pyrimidinyl, pyrazinyl, Ph]. The invention further relates to methods for identifying and using agents, including small mol. chemical compns. that inhibit HIV replication in a cell, as well as to methods of prophylaxis, and therapy related to HIV infection and

```
     related disease states such as AIDS.  Preparation of the compds. I is described
     in 28 synthetic examples.  Thus, reacting 4-(3-cyclopentyloxy-4-
     methoxyphenyl)-pyrrolidin-2-one with 3-bromobenzonitrile in the presence
     of potassium phosphate and trans-1,2-cyclohexanediamine in DMF/dioxane
     followed by treating a solution of the resulting benzonitrile with 25% NaOH
     solution, and then with 35% H2O2 afforded II.

L3   ANSWER 3 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:142951  CAPLUS
DN   140:199330
TI   Preparation of tetrazole derivs. as matrix metalloproteinase inhibitors
IN   Bunker, Amy Mae; Morris, Mark Anthony; O'Brien, Patrick Michael; Wilson,
     Michael William
PA   Warner-Lambert Company Llc, USA
SO   PCT Int. Appl., 210 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   WO 2004014366      A1   20040219      WO 2003-IB3616   20030803
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
        RW:  GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
                                           US 2002-403162PP 20020813
     US 2004048863      A1   20040311      US 2003-634709   20030805
                                           US 2002-403162PP 20020813
OS   MARPAT 140:199330
IT   660858-52-6P 660858-56-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of tetrazole derivs. as matrix metalloproteinase inhibitors for
        treating osteoarthritis or rheumatoid arthritis)
RN   660858-52-6  CAPLUS
CN   Benzoic acid, 3-[5-(4-methoxyphenyl)-2-oxazolyl]-, hydrazide,
     monohydrochloride (9CI)  (CA INDEX NAME)
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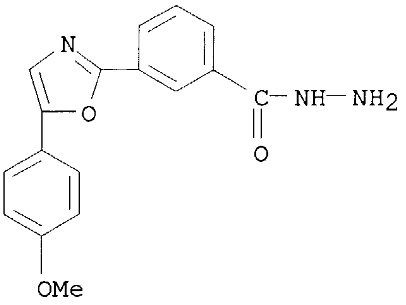
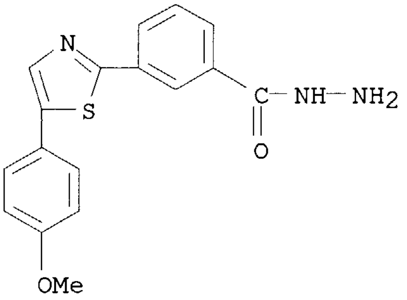


● HCl

RN 660858-56-0 CAPLUS
CN Benzoic acid, 3-[5-(4-methoxyphenyl)-2-thiazolyl]-, hydrazide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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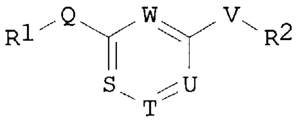

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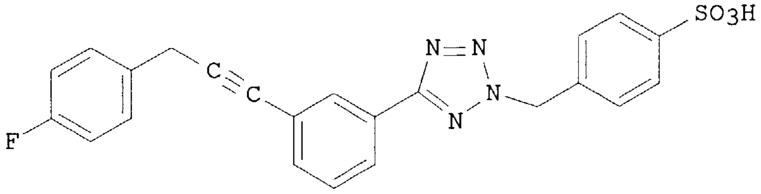

II

```
AB   Title compds. I [wherein R1, R2 = independently H, (un)substituted
     (cyclo)alkyl, alkenyl, alkynyl, heteroarylalkyleneyl, (hetero)aryl, etc.;
     S, T, U, W = independently N or CR4; R4 = independently H, F, Me, CF3,
     CHO, CN, OH, MeO, CH2FO, CHF2O, CF3O; V = 5-membered heteroarylenyl, CO2,
     CSO, (thio)amido; Q = OCH2, aminomethyl, (thio)carbonyl, (thio)amido,
     5-membered heteroarylenyl, etc.; and pharmaceutically acceptable salts
     thereof, admixed with a pharmaceutically acceptable carrier, excipient, or
     diluent] and analogs (7 addnl. Markush structures) were prepared as matrix
     metalloproteinase inhibitors.  For example, reaction of
     3-bromobenzonitrile with sodium azide (94.3%), followed by substitution
     with 4-bromomethylbenzenesulfonic acid sodium salt (78.3%) and coupling
     reaction with 1-fluoro-4-prop-2-ynylbenzene (8.26%), gave II.  II
     inhibited matrix metalloproteinase-13 catalytic domain (MMP-13CD) with an
     IC50 values of 0.0045 μM.  Thus, I and their pharmaceutical compns. are
     useful as MMP-13 inhibitor for the treatment of diseases, such as
     osteoarthritis and rheumatoid arthritis (no data).
RE.CNT  5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 4 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:100816  CAPLUS
DN   140:163860
TI   Preparation of dihydrodipyrazolopyridinylbenzamide and -sulfonamide
     inhibitors of B7-1
IN   Green, Neal Jeffrey; Xiang, Jason Shaoyun; Davies, Audrey Molina; Chen,
     Lihren
PA   Wyeth, John, and Brother Ltd., USA
SO   U.S. Pat. Appl. Publ., 19 pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
PI   US 2004024008      A1   20040205      US 2003-629276    20030728
     US 6734190         B2   20040511
                                           US 2002-399146PP 20020729
OS   MARPAT 140:163860
IT   654680-98-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of dihydrodipyrazolopyridinylbenzamide and -sulfonamide
        inhibitors of B7-1/CD28 binding)
RN   654680-98-5  CAPLUS
CN   Benzoic acid, 3-[3,6-dihydro-6-methyl-3-oxo-4-[3-
     (trifluoromethyl)phenyl]dipyrazolo[3,4-b:3',4'-d]pyridin-2(1H)-yl]-,
     hydrazide (9CI)  (CA INDEX NAME)
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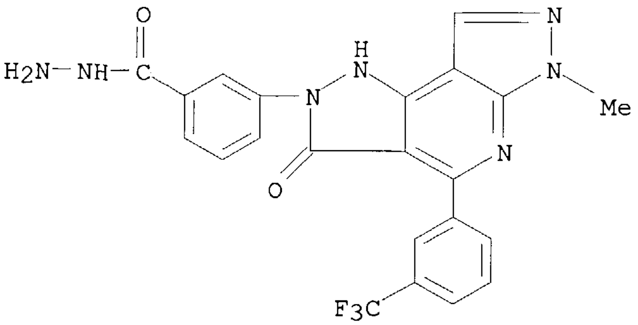

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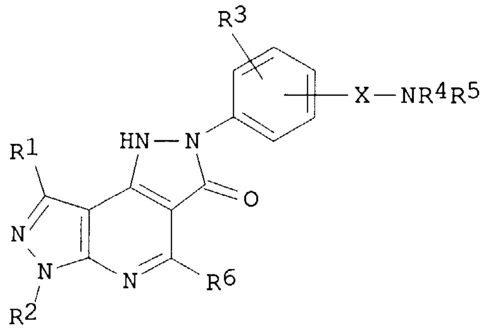

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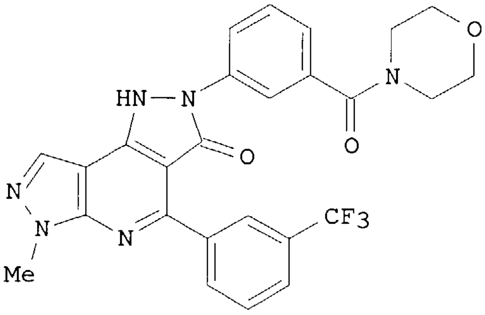

II

AB The title compds. [I; X = CO, SO2; R1, R2 = H, alkyl, haloalkyl, cycloalkyl, etc.; R3 = H, F, Cl, Br, I; R4, R5 = H, NH2, $(CH_2)_2O(CH_2)_2O(CH_2)_2NH_2$, etc.; or NR4R5 = (un)substituted 5-7 membered ring optionally containing one double bond, benzofused ring or an addnl. heteroatom selected from O, (un)substituted NH, S; R6 = (un)substituted Ph, cycloheteroalkyl, heteroaryl], useful for the immunotherapeutic treatment of transplant rejection or autoimmune disease, were prepared E.g., a multi-step synthesis of II which showed IC50 of 54 nM in test for B7-1/CD28 binding inhibition, was given. The pharmaceutical composition comprising the compound I is claimed.

```
L3   ANSWER 5 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:1006815  CAPLUS
DN   140:35974
TI   Treatment for depression and anxiety by the combination of a PDE IV
     inhibitor and an antidepressant or an anxiolytic agent
IN   Sobolov-Jaynes, Susan Beth; Schmidt, Christopher Joseph
PA   Pfizer Products Inc., USA
SO   PCT Int. Appl., 62 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND  DATE          APPLICATION NO.  DATE
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PI   WO 2003105902       A1    20031224      WO 2003-IB2295   20030605
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
                                             US 2002-389181PP 20020617
     US 2003235631       A1    20031225      US 2003-387060   20030312
                                             US 2002-389181PP 20020617
OS   MARPAT 140:35974
IT   636598-57-7
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (treatment for depression and anxiety by combination of a PDE IV
        inhibitor and an antidepressant or an anxiolytic agent)
RN   636598-57-7  CAPLUS
CN   Benzoic acid, 3-[1-[3-(cyclopentyloxy)-4-methoxyphenyl]-2-oxo-3-
     pyrrolidinyl]-5-(phenylmethoxy)-, hydrazide (9CI)  (CA INDEX NAME)
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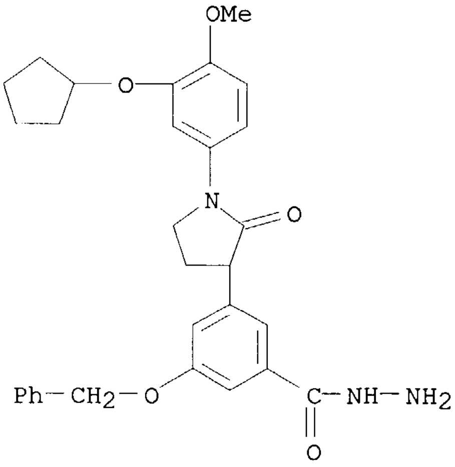

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AB    The present invention relates to a method of treating depression or
      anxiety in a mammal, including a human, by administering to the mammal a
      PDE IV inhibitor in combination with an antidepressant or an anxiolytic
      agent.  It also relates to pharmaceutical compns. containing a
      pharmaceutically acceptable carrier, a PDE IV inhibitor and an anxiolytic
      agent or antidepressant.
RE.CNT  1      THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3    ANSWER 6 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN    2003:931219  CAPLUS
DN    139:399815
TI    Pharmaceuticals containing an anticancer agent and an erythropoietin
      receptor ligand
IN    Lappin, Terence; Mann, John; Mcmanus, Michael
PA    The Queen's University of Belfast, UK
SO    PCT Int. Appl., 38 pp.
      CODEN: PIXXD2
DT    Patent
LA    English
FAN.CNT 1
      PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
      ---------------  ----  --------      ---------------  --------
PI    WO 2003097106     A2   20031127      WO 2003-GB2194   20030521
      WO 2003097106     A3   20040212
          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
              RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
              NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
              GW, ML, MR, NE, SN, TD, TG
                                               GB 2002-11578  A 20020521
IT    188530-71-4
      RL: RCT (Reactant); RACT (Reactant or reagent)
         (pharmaceuticals containing anticancer agent and erythropoietin receptor
         ligand)
RN    188530-71-4  CAPLUS
CN    Benzoic acid, 3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-, hydrazide,
      mono(trifluoroacetate) (9CI)  (CA INDEX NAME)

      CM   1

      CRN  188530-70-3
      CMF  C11 H9 N3 O3
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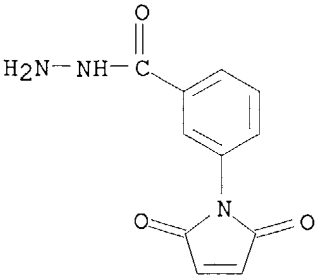
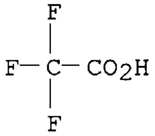


CM 2

CRN 76-05-1
CMF C2 H F3 O2



AB A drug conjugate comprising a targeting agent and an anti-cancer agent, wherein the targeting agent comprises an erythropoietin receptor ligand, is described. The drug conjugate can be used in methods of treating cancer. Also described are methods of treating cancer by using the conjugate, methods of diagnosis, methods of imaging and pharmaceutical compns. Thus, a derivative was obtained by the reaction of daunorubicin-HCl with 3-maleimidobenzoyl chloride in the presence of triethylamine. The daunorubicin derivative with the maleimide group in the 3'-amino position was treated with erythropoietin to give the drug conjugate. The conjugate bound to the erythropoietin receptor on the surface of the cancer cell followed by the sequestration of the conjugate into the cell cytoplasm and its release from the receptor.

L3 ANSWER 7 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:818425 CAPLUS
DN 139:337987
TI Preparation of imidazothienopyrazines for treatment of inflammatory and immune diseases.
IN Belema, Makonen; Bunker, Amy; Nguyen, Van; Beaulieu, Francis; Ouellet, Carl; Marinier, Anne; Roy, Stephan; Yang, Xuejie; Qiu, Yuping; Zhang, Yunhui; Martel, Alain; Zusi, Christopher
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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     PATENT NO.          KIND   DATE          APPLICATION NO.   DATE
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PI   WO 2003084959       A1     20031016      WO 2003-US9549    20030327
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            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
            PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
            TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
            MD, RU, TJ, TM
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            CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
            NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
            GW, ML, MR, NE, SN, TD, TG
                                             US 2002-369698PP 20020403
    US 2004058930      A1   20040325         US 2003-400387   20030327
                                             US 2002-369698PP 20020403
OS  MARPAT 139:337987
IT  615532-84-8P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
    (Uses)
       (preparation of imidazothienopyrazines for treatment of inflammatory and
       immune diseases)
RN  615532-84-8  CAPLUS
CN  Benzoic acid, 3-[8-methyl-5-(methylamino)imidazo[1,2-a]thieno[3,2-
    e]pyrazin-2-yl]-, hydrazide (9CI)  (CA INDEX NAME)
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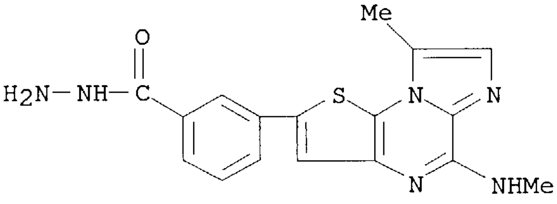

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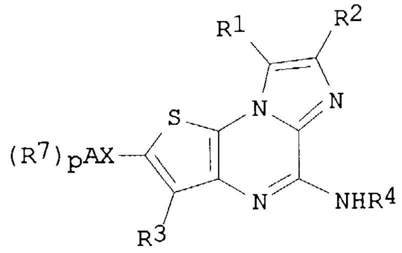

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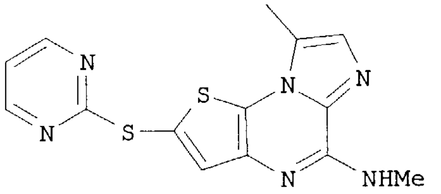

II

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AB  Title compds. [I; R1-R3 = H, halo, (perfluoro)alkyl; R4 = (CR5R6)mZ,
    (cycloalkyl)Z; R5, R5a, R6, R6a = H, OH, (substituted) amino, alkoxy,
    (cyclo)alkyl, heterocyclyl, (hetero)aryl; R7 = halo, cyano, (substituted)
    alkyl, alkenyl, (CR5aR6a)qOR8a, (CR5aR6a)qSR8a, (CR5aR6a)qSO2R10,
    (CR5aR6a)qNR8R9, (CR5aR6a)qNR8SO2, (CR5aR6a)qNR8SO2R10,
    (CR5aR6a)qSO2NR8R9, (CR5aR6a)qNR8aCOR9a, (CR5aR6a)qNR8aCO2R9a,
    (CR5aR6a)qCOR8a, (CR5aR6a)qCO2R8a, (CR5aR6a)qO2CR8a,
    (CR5aR6a)qCONR8aNR5R9, (CR5aR6a)qCONR8aSO2R10, cycloalkyl(alkyl),
          heterocyclyl(alkyl), aryl, aralkyl, heteroaryl(alkyl), etc.; when A =
          heterocycle, cycloalkyl, 1 of R7 may = O, when A = bond, then R7 may = H;
          X = bond, O, S, NR1, (CH2)n, CH:CH, C.tplbond.C; A = bond, (hetero)aryl,
          heterocycle, cycloalkyl; Z = H, Me, OR14, CO2R14, NR12COR13, NR12CO2R13,
          NR12SO2R13, NR12CONR14R15, etc.; R8, R8a, R9, R9a = H, (substituted)
          alkenyl, (cyclo)alkyl, (cycloalkyl)alkyl, (heterocyclyl)alkyl, aryl,
          aralkyl, heteroaryl, (heteroaryl)alkyl; R8R9N, R14R15N = heterocyclyl;
          R10, R10a = (substituted) (cyclo)alkyl, heterocyclyl, (hetero)aryl; R11 =
          H, (amino)alkyl, hydroxyalkyl; R12 = H, alkyl; R13 = H, (substituted)
          (cyclo)alkyl, heterocyclyl, (hetero)aryl; R14, R14a, R15, R15a = H,
          (substituted) (cyclo)alkyl, (cycloalkyl)alkyl, (heterocyclyl)alkyl,
          aryl(alkyl), heteroaryl(alkyl); m, q = 0-6; n = 1, 2; p = 0-4], were
          prepared  Thus, tris(dibenzylideneacetone)dipalladium(0) and
          bis[(2-diphenylphosphino)phenyl]ether in toluene were bubbled with argon
          for 3 min; N-(2-bromo-8-methyl-1-thia-4,6,8a-triaza-as-indacen-5-yl)-N-
          methylamine was added followed by 2-mercaptopyrimidine and KOCMe3 in THF
          followed by refluxing for 2h to give 18% title compound (II).
RE.CNT  2     THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 8 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:719265  CAPLUS
DN   139:240337
TI   Pin1 peptidyl prolyl isomerase-modulating compounds and methods of use in
     the treatment of cancer and other Pin1-associated conditions
IN   Mckee, Timothy D.; Suto, Robert K.
PA   Pintex Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 105 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND  DATE          APPLICATION NO.   DATE
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PI   WO 2003073999        A2   20030912      WO 2003-US6399    20030303
     WO 2003073999        A3   20031231
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
                                             US 2002-361231PP 20020301
OS   MARPAT 139:240337
IT   340216-47-9 340216-47-9D, derivs.
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (Pin1 peptidyl prolyl isomerase-modulating compds. for treatment of
        cancer and other Pin1-associated conditions)
RN   340216-47-9  CAPLUS
CN   Benzoic acid, 2-chloro-5-(2,5-dimethyl-1H-pyrrol-1-yl)-, hydrazide (9CI)
     (CA INDEX NAME)
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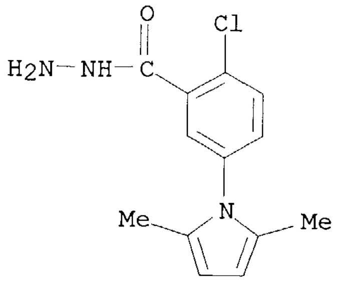
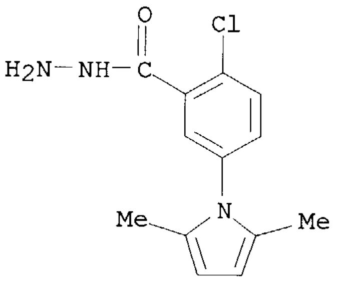


RN 340216-47-9 CAPLUS
CN Benzoic acid, 2-chloro-5-(2,5-dimethyl-1H-pyrrol-1-yl)-, hydrazide (9CI) (CA INDEX NAME)



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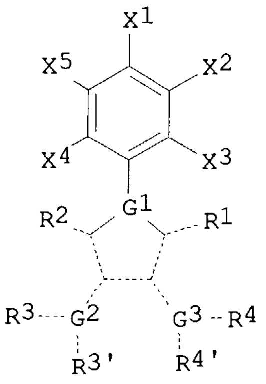

I

AB The invention discloses modulators, e.g., inhibitors of Pin1 and Pin1-related proteins, and the use of such modulators for treatment of Pin1-associated states, e.g., for the treatment of cancer. Compds. of the invention include I [dashed lines = single or double bonds; G1 = CH, N; G2, G3 = H, N, CH2, CH, NH; R1, R2, R3, R3', R4, R4', X1-X5 = H, acyl,

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     (un)substituted alkyl, etc.].  Determination of Pin1 overexpression in a
variety
     of tumor types is also presented.

L3   ANSWER 9 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:532647  CAPLUS
DN   139:101122
TI   Preparation of 3,4-diarylpyrazoles as inhibitors of heat shock protein 90
     (HSP90) and their use in the therapy of cancer
IN   Drysdale, Martin James; Dymock, Brian William; Barril-Alonso, Xavier;
     Workman, Paul; Pearl, Laurence Harris; Prodromou, Chrisostomos; MacDonald,
     Edward
PA   Ribotargets Limited, UK; Cancer Research Technology Limited; The Institute
     of Cancer Research
SO   PCT Int. Appl., 299 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND  DATE           APPLICATION NO.  DATE
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PI   WO 2003055860        A1   20030710       WO 2002-GB5778   20021219
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
        RW:  GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
                                              GB 2001-30733   A 20011221
                                              GB 2002-25688   A 20021104
OS   MARPAT 139:101122
IT   558649-80-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of diarylpyrazoles as inhibitors of heat shock protein 90 and
        their use in the therapy of cancer)
RN   558649-80-2  CAPLUS
CN   Benzoic acid, 2,4-dihydroxy-5-[4-(4-methoxyphenyl)-5-methyl-1H-pyrazol-3-
     yl]-, hydrazide (9CI)  (CA INDEX NAME)
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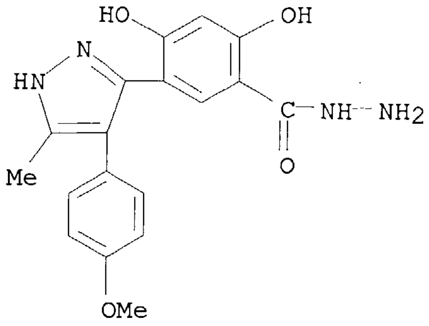

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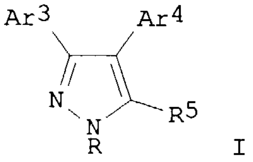

I

AB A method of inhibiting HSP90 comprises administration of title compds. [I; Ar3, Ar4 = (substituted) C5-20 aryl; R5 = H, halo, OH, ether, formyl, acyl, CO2H, ester, acyloxy, oxycarbonyloxy, amido, acylamido, aminocarbonyloxy, tetrazolyl, amino, NO2, cyano, N3, sulfhydryl, thioether, sulfonamido, C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl; R = H, C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl] and pharmaceutically acceptable salts, solvates, amides, esters, ethers, chemical protected forms, and prodrugs thereof. Thus, 7-hydroxy-3-phenylchromen-4-one and hydrazine hydrate were refluxed 45 min. in EtOH to give 4-(4-phenyl-1H-pyrazol-3-yl)benzene-1,3-diol. This inhibited HSP90 activity with IC50 = 10-100 μM.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:242317 CAPLUS
DN 138:271533
TI Preparation of aminopyranone and aminopyrimidinones as selective inhibitors of DNA-dependent protein kinase
IN Griffin, Roger John; Golding, Bernard Thomas; Newell, David Richard; Calvert, Hilary Alan; Curtin, Nicola Jane; Hardcastle, Ian Robert; Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; Rigoreau, Laurent Jean Martin; Cockcroft, Xiao-Ling Fan; Loh, Vincent Ming-Lai, Jr.; Workman, Paul; Raynaud, Florence Irene; Nutley, Bernard Paul
PA Cancer Research Technology Limited, UK
SO PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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PI    WO 2003024949       A1   20030327       WO 2002-GB3781    20020814
          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
              RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
              PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
              NE, SN, TD, TG
                                             GB 2001-19865   A 20010814
      GB 2393653          A1   20040407      GB 2004-1411      20020814
                                             GB 2001-19865   A 20010814
                                             WO 2002-GB3781  W 20020814
      EP 1417196          A1   20040512      EP 2002-751439    20020814
          R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                             GB 2001-19865   A 20010814
                                             WO 2002-GB3781  W 20020814
OS    MARPAT 138:271533
IT    503466-50-0P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (preparation of aminopyranone and aminopyrimidinones as selective inhibitors
         of DNA-dependent protein kinase)
RN    503466-50-0  CAPLUS
CN    Benzoic acid, 3-[6-(4-morpholinyl)-4-oxo-4H-pyran-2-yl]-, hydrazide (9CI)
      (CA INDEX NAME)
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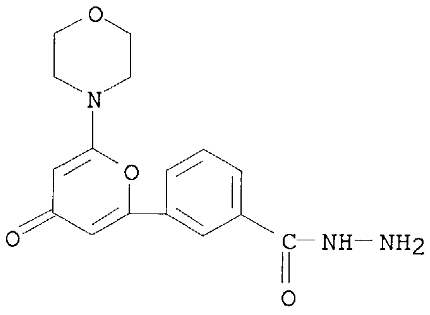

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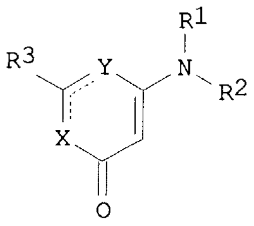

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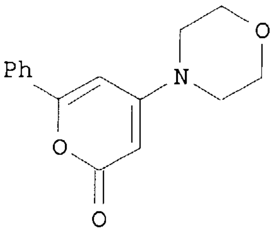

II

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AB   The invention relates to the use of heterocyclic compds. I [R1, R2 = H,
     (un)substituted C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl, or NR1R2 =
     (un)substituted 4-8 membered heterocyclic ring; X, Y = CR4 and O, O and
     CR'4, NR'4 and N where the unsatn. is in the appropriate place in the
     ring, and where 1 of R3 and R4 or R'4 = (un)substituted C3-20 heteroaryl
     or C5-20 aryl,and the other of R3 and R4 or R'4 = H; or R3 and R4 or R'4
     together = -A-B-, which collectively represent a fused (un)substituted
     aromatic ring] and isomers, salts, solvates, chemical protected forms, and
     prodrugs thereof, in the preparation of a medicament for inhibiting the
     activity of DNA-dependent protein kinase (DNA-PK).  The compds. also
     selectively inhibit the activity of DNA-PK compared to PI 3-kinase and/or
     ataxia-telangiectasia mutated (ATM) protein.  Thus, condensation of
     acetophenone with CS2, followed by S-alkylation, substitution with
     morpholine, further S-alkylation, and cyclocondensation with Et
     bromoacetate, gave morpholine-substituted pyranone II.  II inhibited
     DNA-PK with IC50 = 1.0 µM.
RE.CNT  9        THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
                 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 11 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:902258  CAPLUS
DN   137:379992
TI   Method of inhibiting neoplastic cells with isoquinolinonecarboxylates
IN   Pamukcu, Rifat; Piazza, Gary A.
PA   Cell Pathways, Inc., USA
SO   U.S., 119 pp.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
PI   US 6486155         B1   20021126      US 1998-198413    19981124
                                           US 1998-198413    19981124
OS   MARPAT 137:379992
IT   212491-08-2P, 3-Isoquinolinecarboxylic acid, 4-(3-bromo-4,5-
     dimethoxyphenyl)-2-[3-(hydrazinocarbonyl)phenyl]-1,2-dihydro-6,7-dimethoxy-
     1-oxo-, methyl ester
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (preparation of isoquinolinonecarboxylates for inhibiting neoplastic cells)
RN   212491-08-2  CAPLUS
CN   3-Isoquinolinecarboxylic acid, 4-(3-bromo-4,5-dimethoxyphenyl)-2-[3-
     (hydrazinocarbonyl)phenyl]-1,2-dihydro-6,7-dimethoxy-1-oxo-, methyl ester
     (9CI)  (CA INDEX NAME)
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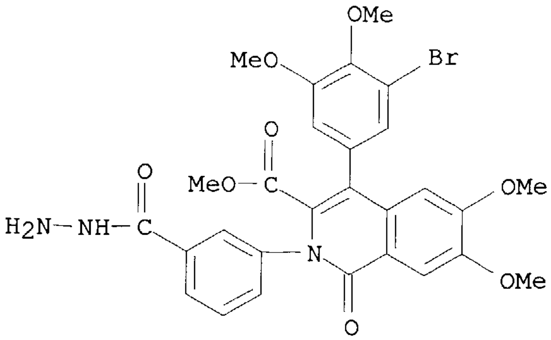

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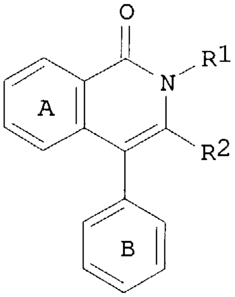

I

AB A method is claimed for inhibiting neoplasia (no data), particularly cancerous and precancerous lesions, by exposing the affected cells to 1-isoquinoline-3-carboxylates. Such compds. are effective in modulating apoptosis and eliminating and inhibiting the growth of neoplasias such as precancerous lesions, but are not characterized by the severe side reactions of conventional non-steroidal antiinflammatory drugs or other chemotherapeutics. Although the methods of preparation are not claimed, example prepns. of 429 isoquinolines and 107 intermediates are included; these examples are referenced to PCT application WO 98/38168. Although the claims indicate I (ring A and ring B are the same or different and each a (un)substituted benzene ring, R1 is morpholine, R2 is -COOR3, and R3 is alkyl; e.g. 7-benzyloxy-6-methoxy-3-methoxycarbonyl-2-morpholino-4-(3,4,5-trimethoxyphenyl)-1(2H)-isoquinolinone) or pharmaceutically acceptable salt thereof, the examples include a much broader variety of 1-isoquinoline-3-carboxylates.

RE.CNT 171 THERE ARE 171 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:275972 CAPLUS
DN 136:275710
TI Reagents for labeling biomolecules having aldehyde or ketone moieties
IN Haugland, Richard P.; Steinberg, Thomas H.; Patton, Wayne P.; Diwu, Zhenjun

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PA   Molecular Probes, Inc., USA
SO   PCT Int. Appl., 86 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE              APPLICATION NO.   DATE
     ---------------     ----   --------          ---------------   --------
PI   WO 2002028841        A2    20020411          WO 2001-US30851   20011002
     WO 2002028841        A3    20020801
     WO 2002028841        B1    20021121
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                  US 2000-237932PP 20001002
     AU 2001096502        A5    20020415          AU 2001-96502    20011002
                                                  US 2000-237932PP 20001002
                                                  WO 2001-US30851W 20011002
     US 2002137068        A1    20020926          US 2001-970215   20011002
                                                  US 2000-237932PP 20001002
     EP 1322625           A2    20030702          EP 2001-977376   20011002
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                                  US 2000-237932PP 20001002
                                                  WO 2001-US30851W 20011002
     JP 2004510767        T2    20040408          JP 2002-532427   20011002
                                                  US 2000-237932PP 20001002
                                                  WO 2001-US30851W 20011002
OS   MARPAT 136:275710
IT   406680-21-5P
     RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST
     (Analytical study); PREP (Preparation); USES (Uses)
        (reagents for labeling biomols. having aldehyde or ketone moieties)
RN   406680-21-5  CAPLUS
CN   Benzoic acid, 3-(1,4-dihydro-4-oxo-2-quinazolinyl)-4-hydroxy-, hydrazide
     (9CI)  (CA INDEX NAME)
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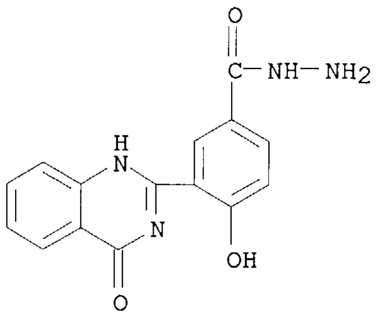

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AB    The invention concerns novel fluorescent derivatization reagents are
      described that are suitable for coupling to biomols. that contain aldehyde
      or ketone functional groups.  The method of treating a sample with the
      derivatization reagents is described.  The reagents are particularly
      useful for labeling glycoproteins or glycopeptides, nucleic acids, and
      lipopolysaccharides in electrophoresis gels.

L3    ANSWER 13 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN    2001:300693  CAPLUS
DN    134:311235
TI    Preparation of benzodiazepine derivatives as metabotropic glutamate
      receptor antagonists
IN    Adam, Geo; Alanine, Alexander; Goetschi, Erwin; Mutel, Vincent; Woltering,
      Thomas Johannes
PA    F. Hoffmann-La Roche Ag, Switz.
SO    PCT Int. Appl., 142 pp.
      CODEN: PIXXD2
DT    Patent
LA    English
FAN.CNT 1
      PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
      ---------------   ----  --------       ---------------  --------
PI    WO 2001029012      A2   20010426       WO 2000-EP9554   20000929
          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
              HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
              LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
              ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
              CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             EP 1999-120519 A 19991015
      BR 2000014761      A    20020702       BR 2000-14761    20000929
                                             EP 1999-120519 A 19991015
                                             WO 2000-EP9554 W 20000929
      EP 1224175         A2   20020724       EP 2000-971302   20000929
      EP 1224175         B1   20040317
          R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL
                                             EP 1999-120519 A 19991015
                                             WO 2000-EP9554 W 20000929
      TR 200201026       T2   20020821       TR 2002-20020102620000929
                                             EP 1999-120519 A 19991015
      JP 2003512360      T2   20030402       JP 2001-531812   20000929
                                             EP 1999-120519 A 19991015
                                             WO 2000-EP9554 W 20000929
      AT 261945          E    20040415       AT 2000-971302   20000929
                                             EP 1999-120519 A 19991015
                                             WO 2000-EP9554 W 20000929
      NZ 518037          A    20040430       NZ 2000-518037   20000929
                                             EP 1999-120519 A 19991015
                                             WO 2000-EP9554 W 20000929
      US 6509328         B1   20030121       US 2000-687241   20001013
                                             EP 1999-120519 A 19991015
      ZA 2002002654      A    20030704       ZA 2002-2654     20020404
                                             EP 1999-120519 A 19991015
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     NO 2002001691      A    20020410       NO 2002-1691     20020410
                                            EP 1999-120519 A 19991015
                                            WO 2000-EP9554 W 20000929
     US 2003092677      A1   20030515       US 2002-300449   20021120
                                            EP 1999-120519 A 19991015
                                            US 2000-687241 A320001013
```

OS MARPAT 134:311235

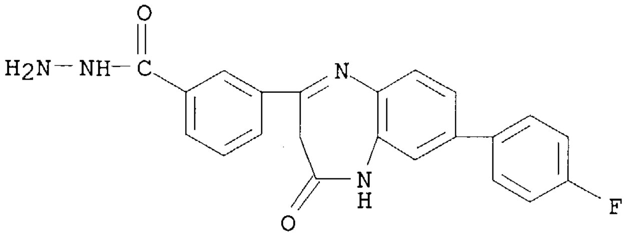
IT **335259-19-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzodiazepine derivs. as metabotropic glutamate receptor antagonists for treating or preventing acute and/or chronic neurol. disorders)

RN 335259-19-3 CAPLUS

CN Benzoic acid, 3-[8-(4-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,5-benzodiazepin-4-yl]-, hydrazide (9CI) (CA INDEX NAME)



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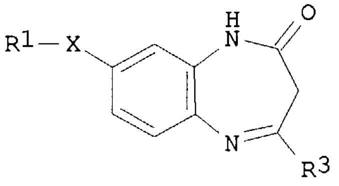
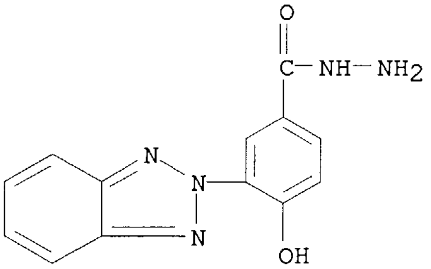


I

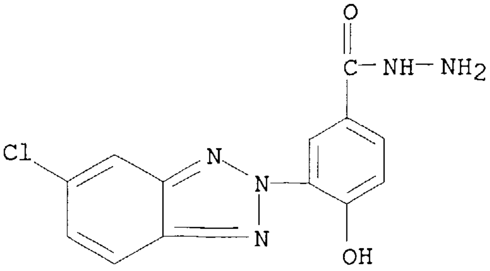
AB The title compds. [I; X is a single bond or an ethynediyl group; wherein, in case X is a single bond, R1 is hydrogen, halogen, nitro, lower alkyl, halo-lower alkyl, alkoxycarbonyl, lower cycloalkyl optionally substituted with oxygen, (un)substituted benzoyl or Ph, styrenyl, phenylethyl, naphthyl, biphenyl, benzofuranyl, or (un)substituted 5 or 6 membered heterocyclic ring; wherein in case X is an ethynediyl group, R1 is hydrogen, lower alkyl, optionally substituted with hydroxy, halo-lower alkyl, (un)substituted lower cycloalkyl or lower cycloalkenyl, lower alkenyl, (un)substituted Ph or 5 or 6 membered heterocyclic ring, or benzofuranyl; R3 is (un)substituted Ph, pyridinyl, thiophenyl, thiazolyl, or a 5-membered aromatic heterocycle, with the proviso that, if X is a single bond and R3 is pyridinyl, R1 is not hydrogen, or methyl] and their pharmaceutically acceptable acid addition salts are prepared These compds. can be used for treating or preventing acute and/or chronic neurol. disorders such as psychosis, schizophrenia, Alzheimer's disease, cognitive disorders, and memory deficits. Thus, [2-amino-4-(4-

fluorophenylethynyl)phenyl]-carbamic acid tert-Bu ester (preparation given) and 6-(3-imidazol-1-ylphenyl)-2,2-dimethyl-[1,3]dioxin-4-one (preparation given) were refluxed in toluene to give [4-(4-fluorophenylethynyl)-2-[3-(3-imidazol-1-ylphenyl)-3-oxopropionylamino]phenyl]carbamic acid tert-Bu ester which was treated with CF3CO2H in CH2Cl2 to give 8-(4-Fluorophenylethynyl)-4-(3-imidazol-1-ylphenyl)-1,3-dihydrobenzo[b](1,4)diazepin-2-one (II). II showed the antagonism against group II mGlu receptor with Ki of 0.004 μM in an assay using [3H]-LY354740 binding on mGlu2 transfected CHO cell membranes.

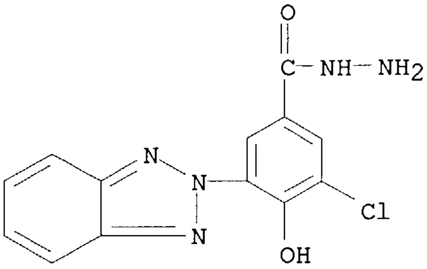
L3 ANSWER 14 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:272833 CAPLUS
DN 135:76829
TI Synthesis and solid-state reaction of 1-[3'-(benzotriazol-2"-yl)-4'-hydroxybenzoyl]-3-methyl-5-pyrazolones
AU Han, Xiao-Chun; Xu, Xue-Yu; Li, Xiao-Lu; Wang, Yong-Mei; Matsuura, Teruo; Meng, Ji-Ben
CS Department of Chemistry, Nankai University, Tianjin, 300071, Peop. Rep. China
SO Chinese Journal of Chemistry (2001), 19(4), 398-403
CODEN: CJOCEV; ISSN: 1001-604X
PB Science Press
DT Journal
LA English
OS CASREACT 135:76829
IT **347147-28-8 347147-29-9 347147-30-2**
347147-31-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)
RN 347147-28-8 CAPLUS
CN Benzoic acid, 3-(2H-benzotriazol-2-yl)-4-hydroxy-, hydrazide (9CI) (CA INDEX NAME)



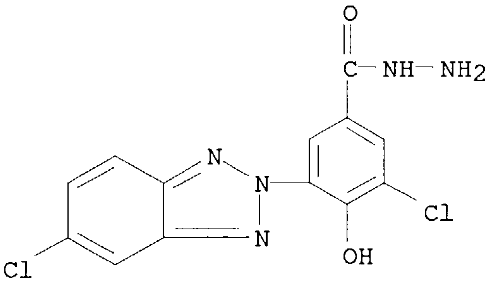
RN 347147-29-9 CAPLUS
CN Benzoic acid, 3-(5-chloro-2H-benzotriazol-2-yl)-4-hydroxy-, hydrazide (9CI) (CA INDEX NAME)



RN 347147-30-2 CAPLUS
CN Benzoic acid, 3-(2H-benzotriazol-2-yl)-5-chloro-4-hydroxy-, hydrazide (9CI) (CA INDEX NAME)



RN 347147-31-3 CAPLUS
CN Benzoic acid, 3-chloro-5-(5-chloro-2H-benzotriazol-2-yl)-4-hydroxy-, hydrazide (9CI) (CA INDEX NAME)



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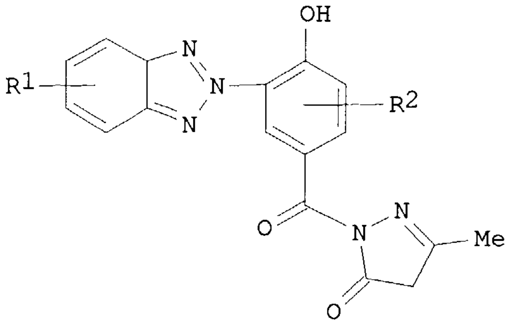

I

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AB    A new kind of UV stabilizers, 1-(3'-(benzotriazol-2"-yl)-4'-hydroxy-
      benzoyl)-3-methyl-5-pyrazolones I (R1 = H, R2 = H, 5'-Cl; R1 = 5''-Cl, R2
      = H, 5'Cl), were prepared with the aim to bind them chemical to certain
      polymers.  The reaction of I (R1 = 5''-Cl, R2 = 5'-Cl) with substituted
      benzaldehydes in the molten state at 150° and in the solid state at
      room temperature produced the condensation products
1-(3'-(5"-chlorobenzotriazol-
      2"-yl)-4'-hydroxyl-5'-chlorobenzoyl)-3-methyl-4-arylmethylene-5-
      pyrazolones (2) and 4,4'-arylmethylene-bis[1-(3'-(5"-chloro-benzotriazol-
      2"-yl)-4'-hydroxy-5'-chloro-benzoyl)-3-methyl-5-pyrazolone]s (3), resp.,
      as the major product.  On the other hand, the reaction of I (R1 = 5''-Cl,
      R2 = 5'-Cl) with benzaldehydes at 50° in chloroform solution proceeded
      non-selectively to give a mixture of 2 and 3.
RE.CNT  12     THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3    ANSWER 15 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN    2001:62381  CAPLUS
DN    134:115960
TI    Triazole and imidazole derivatives, methods of preparation and use in
      treatment or prophylaxis of diseases caused by overactivation of
      respective NMDA receptor subtypes
IN    Alanine, Alexander; Buettelmann, Bernd; Heitz, Neidhart Marie-Paule;
      Jaeschke, Georg; Pinard, Emmanuel; Wyler, Rene
PA    F. Hoffmann-La Roche A.-G., Switz.
SO    Eur. Pat. Appl., 66 pp.
      CODEN: EPXXDW
DT    Patent
LA    English
FAN.CNT 1
      PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
      ---------------   ----  --------       ---------------   --------
PI    EP 1070708         A1   20010124       EP 2000-114183    20000713
      EP 1070708         B1   20040114
          R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
                                             EP 1999-114313 A 19990721
      AT 257827          E    20040115       AT 2000-114183    20000713
                                             EP 1999-114313 A 19990721
      SG 98422           A1   20030919       SG 2000-3981      20000718
                                             EP 1999-114313 A 19990721
      TR 200002097       A2   20010221       TR 2000-20000209720000719
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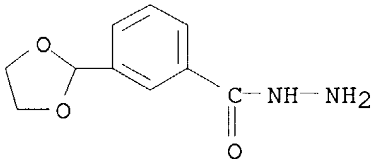
			EP 1999-114313 A	19990721
US 6265426	B1	20010724	US 2000-619518	20000719
			EP 1999-114313 A	19990721
NO 2000003723	A	20010122	NO 2000-3723	20000720
			EP 1999-114313 A	19990721
ZA 2000003680	A	20010122	ZA 2000-3680	20000720
			EP 1999-114313 A	19990721
CN 1281852	A	20010131	CN 2000-120181	20000720
			EP 1999-114313 A	19990721
HR 2000000482	A1	20010630	HR 2000-482	20000720
			EP 1999-114313 A	19990721
BR 2000003075	A	20010313	BR 2000-3075	20000721
			EP 1999-114313 A	19990721
JP 2001064263	A2	20010313	JP 2000-220748	20000721
			EP 1999-114313 A	19990721

OS MARPAT 134:115960

IT **321198-17-8P**, 3-[1,3]Dioxolan-2-ylbenzoic acid hydrazide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; triazole and imidazole derivs., methods of preparation and use in treatment or prophylaxis of diseases caused by overactivation of resp. NMDA receptor subtypes)

RN 321198-17-8 CAPLUS

CN Benzoic acid, 3-(1,3-dioxolan-2-yl)-, hydrazide (9CI) (CA INDEX NAME)



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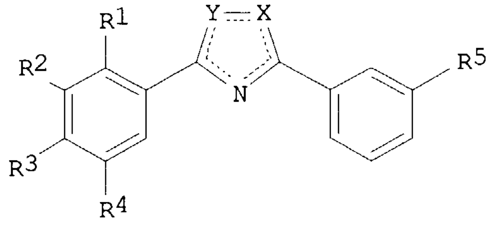

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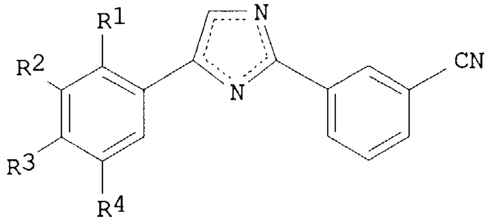


II

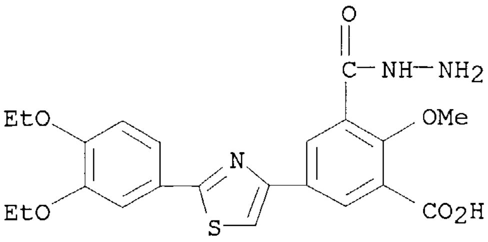
AB The present invention relates to I wherein R1-R4 = H, CF3, OCF3, OCHF2, OCH2F, lower alkyl, lower alkoxy, halogen, hydroxy, Ph, benzyl, amino, nitro, pyrrol-1-yl, lower alkylsulfonyl, lower alkylthio, cyano or benzyloxy; or R2 and R3 may be together = O-(CH2)2-O-, -O-CH2-O-, -O-(CH2)2-, -(CH2)3- or CH:CH-CH:CH-; X = N:, imino with N possibly substituted, CH:; Y = -N:, :N-, imino with N possibly substituted, CH:; wherein one of X or Y has to be N; R5 = aminomethyl with N possibly substituted and to their pharmaceutically acceptable acid addition salts. The methods of preparation comprise cyclizing a carboxylic acid hydrazide with a benzenecarboximidamide hydrochloride or benzenecarboximidic acid ester to give a triazole; arylating a 4-iodo-2-phenylimidazole with a phenylboronic acid in the presence of Pd(PPh3)4 to give an imidazole; reducing II to the aminomethyl analog followed by di-N-alkylation using acyl chlorides and LiAlH4. These compds. may be used for the treatment or prophylaxis of diseases related to the N-methyl-D-aspartate (NMDA)-receptor-subtype selective blockers. Such diseases include acute forms of neurodegeneration caused, e.g., by stroke or brain trauma; chronic forms of neurodegeneration such as Alzheimer's disease, Parkinson's disease, Huntington's disease or ALS (amyotrophic lateral sclerosis); neurodegeneration associated with bacterial or viral infections, and diseases such as schizophrenia, anxiety, depression and acute/chronic pain.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:715596 CAPLUS
DN 133:266845
TI Preparation of thiazole derivatives inhibiting release of active oxygen species from blood neutrophil or scavenging active oxygen species
IN Matsuzaki, Takayuki; Chihiro, Masatoshi; Yamashita, Hiroshi; Kurimura, Muneaki; Mori, Toyoki; Kitano, Kazuyoshi
PA Ohtsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 47 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000281664	A2	20001010	JP 1999-88333	19990330
				JP 1999-88333	19990330

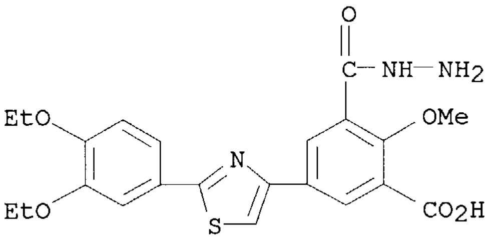
OS MARPAT 133:266845
IT **298691-80-2P 298692-21-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazole derivs. as inhibitors of release of active oxygen species from blood neutrophil, formation of lipid peroxides, cytokine production, and cell adhesion or as scavengers of active oxygen species)
RN 298691-80-2 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[2-(3,4-diethoxyphenyl)-4-thiazolyl]-2-methoxy-, monohydrazide (9CI) (CA INDEX NAME)



RN 298692-21-4 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[2-(3,4-diethoxyphenyl)-4-thiazolyl]-2-methoxy-, monohydrazide, trifluoroacetate (2:1) (9CI) (CA INDEX NAME)

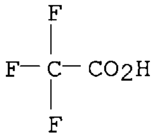
CM 1

CRN 298691-80-2
CMF C22 H23 N3 O6 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



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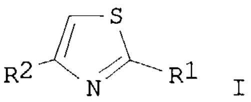

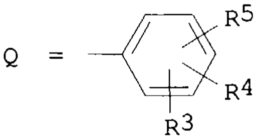

AB The title compds. (I; R1 = Ph optionally having 1-3 substituents selected from lower alkoxy or lower alkoxy-lower alkyl, lower alkylenedioxyphenyl; R2 = substituted furyl, pyrrolyl, thienyl, or pyridyl each having substituents selected from lower alkoxy-lower alkyl, lower alkoxycarbonyl, or CO2H, Q; wherein R3 = lower alkoxycarbonyl, CO2H; R4 = lower alkoxy; R5 = H, azido-lower alkyl, hydroxy-lower alkenyl, cyano-lower alkyl, lower alkoxy-lower alkyl, lower alkylthio-lower alkyl, substituted pyrrolidinyl-lower alkyl etc.) are prepared These compds. inhibit formation of lipid peroxides, cytokine production, in particular TNF-α production, and cell adhesion. Thus, bromination of Me 2-methoxy-5-acetylbenzoate by Br in CHCl3 under reflux for 10 min gave Me 2-methoxy-5-bromoacetylbenzoate which was cyclocondensed with 3-methoxymethyl-4-methoxythiobenzamide in MeOH under reflux for 1 h to give 2-(3-methoxymethyl-4-methoxyphenyl)-4-(3-methoxycarbonyl-4-methoxyphenyl)thiazole. 2-(3,4-Diethoxyphenyl)-4-(5-carboxy-1-methoxymethyl-3-pyrrolyl)thiazole in vitro inhibited the production of O2- from human blood neutrophil with IC50 of 0.003 μM. A tablet formulation containing 2-(3-ethoxy-4-methoxyphenyl)-4-(2-carboxy-3-methoxymethyl-5-furyl)thiazole, magnesium stearate, and lactose was described.

L3 ANSWER 17 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:475658 CAPLUS
DN 133:104964
TI Preparation of tetrahydro-2H-thiopyran-1,1-dioxides as inhibitors of matrix metalloproteinases or tumor necrosis factor α
IN Taniguchi, Kiyoshi; Neya, Masahiro; Terasawa, Takeshi; Yamazaki, Hitoshi; Sato, Kentaro; Hosoi, Kumi; Tomishima, Yasuyo; Yoshida, Noriko; Imamura, Yoshimasa; Takasugi, Hisashi; Setoi, Hiroyuki
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 336 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000040576	A2	20000713	WO 2000-JP18	20000106
	WO 2000040576	A3	20010322		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,

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             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
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             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                              AU 1999-8068   A 19990107
                                              AU 1999-1702   A 19990719
     CA 2357874        AA   20000713          CA 2000-2357874  20000106
                                              AU 1999-8068   A 19990107
                                              AU 1999-1702   A 19990719
                                              WO 2000-JP18   W 20000106
     AU 2000018905     A5   20000724          AU 2000-18905    20000106
     AU 759900         B2   20030501
                                              AU 1999-8068   A 19990107
                                              AU 1999-1702   A 19990719
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     EP 1140895        A2   20011010          EP 2000-900122   20000106
     EP 1140895        B1   20040324
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                                              AU 1999-8068   A 19990107
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                                              WO 2000-JP18   W 20000106
     TR 200101936      T2   20011221          TR 2001-20010193620000106
                                              AU 1999-8068   A 19990107
                                              AU 1999-1702   A 19990719
     BR 2000008589     A    20020129          BR 2000-8589     20000106
                                              AU 1999-8068   A 19990107
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                                              WO 2000-JP18   W 20000106
     AT 262517         E    20040415          AT 2000-900122   20000106
                                              AU 1999-8068   A 19990107
                                              AU 1999-1702   A 19990719
                                              WO 2000-JP18   W 20000106
OS   MARPAT 133:104964
IT   282112-66-7P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF α
        inhibitors by addition reactions of alkyl or aryl halides with
        tetrahydro-2H-thiopyrans and subsequent oxidation to form the
        1,1-dioxides)
RN   282112-66-7  CAPLUS
CN   Benzoic acid, 3-[5-[(2S)-tetrahydro-1,1-dioxido-2-[2-oxo-2-[[(tetrahydro-
     2H-pyran-2-yl)oxy]amino]ethyl]-2H-thiopyran-2-yl]-2-thienyl]-, hydrazide
     (9CI)  (CA INDEX NAME)

Absolute stereochemistry.
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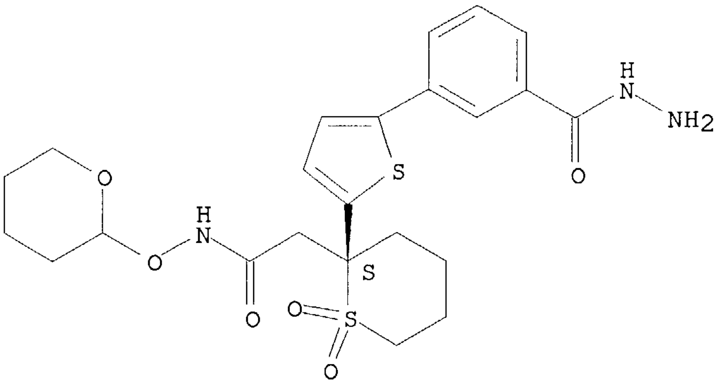

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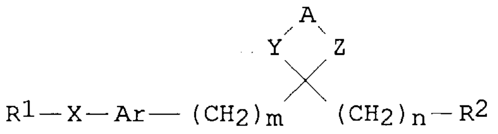
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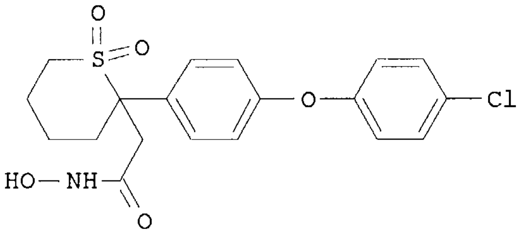
$$R^1-X-Ar-(CH_2)_m-C(Y-A-Z)-(CH_2)_n-R^2$$


II

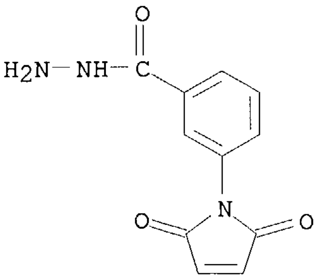
AB The title compds. (I) [wherein R1 = alkyl, halogen, (un)substituted heterocyclic or aryl; R2 = (protected or amidated) carboxy; Ar = (un)substituted aryl heterocyclic; A = alkylene; X = O or a single bond; Y = S, S(O), or SO2; Z = methylene, S, S(O), or SO2; m and n = independently 0-6, and 1 ≤ m+n ≤ 6] and their salts were prepared by addition reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidation to form the 1,1-dioxides. For example, II was synthesized in a multi-step sequence involving (1) etherification of 3,4,5,6-tetrahydro-2-(4-hydroxyphenyl)-2H-thiopyran (prepation given) with 4-bromochlorobenzene, (2) addition of tert-Bu bromoacetate, (3) formation of the 1,1-dioxide using oxone, (4) deesterification with CF3CO2H, and (5) amidation of the acid with hydroxylammonium chloride. In an in vitro assay, II suppressed matrix metalloproteinase 13 (MMP-13) activity with IC50 of 2.2 nM. I are useful for the treatment and/or prevention of diseases such as stroke, arthritis, cancer, tissue ulceration, decubitus ulcer, restenosis, periodontal disease, epidermolysis bullosa, scleritis, psoriasis, and other disease characterized by MMP activity, as well as

IADS, sepsis, septic shock, and other diseases caused by the production of TNF α (no data).

L3 ANSWER 18 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:447061 CAPLUS
DN 133:159269
TI Development of acid-sensitive platinum(II) complexes with protein-binding properties
AU Schutte, M. T.; Mulhaupt, R.; Kratz, F.
CS Institute of Macromolecular Chemistry, University of Freiburg, Freiburg, 79104, Germany
SO Metal-Based Drugs (2000), 7(2), 89-100
CODEN: MBADEI; ISSN: 0793-0291
PB Freund Publishing House Ltd.
DT Journal
LA English
IT **188530-71-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with Boc-protected diaminopropanoyloxybenzaldehyde or acetophenone analog)
RN 188530-71-4 CAPLUS
CN Benzoic acid, 3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-, hydrazide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

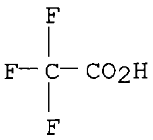
CM 1

CRN 188530-70-3
CMF C11 H9 N3 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **287378-72-7P**

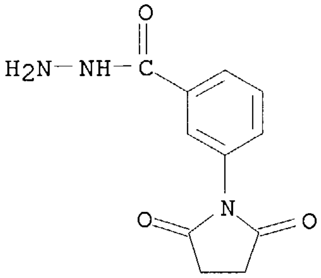
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation with Boc-protected diaminopropanoyloxybenzaldehyde or acetophenone analog)

RN 287378-72-7 CAPLUS

CN Benzoic acid, 3-(2,5-dioxo-1-pyrrolidinyl)-, hydrazide, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

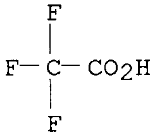
CM 1

CRN 287378-71-6
CMF C11 H11 N3 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



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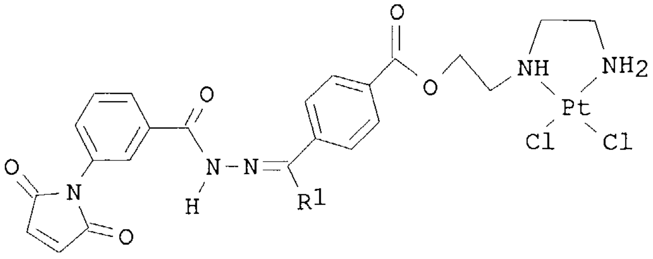

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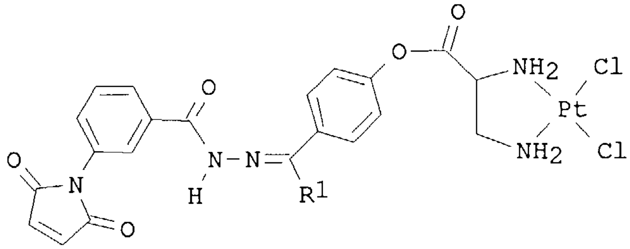
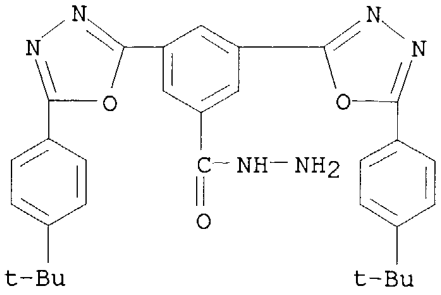


II

AB Four new protein-binding Pt(II) substituted-ethylenediamine complexes I and II (R1 = H, Me for both) in which the dichloroplatinum moiety is coordinated either to a N-substituted or a C-substituted ethylenediamine ligand, resp., were prepared in ten-step syntheses. According to pH-dependent stability studies with strictly related water-soluble compds. (succinimido analogs), ligands with R1 = Me, i.e., containing an acetophenone benzoylhydrazone bond, exhibit acid-sensitive properties in contrast to those with R1 = H, i.e., containing a benzaldehyde benzoylhydrazone bond. Preliminary expts. indicate all four Pt(II) complexes can be coupled to thiol-group bearing macromols., e.g., human serum albumin or polyethylene glycol-SH (no data).

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:208473 CAPLUS
DN 132:348088
TI Synthesis and unusual optical properties of conjugated polymers containing multibranched oxadiazole substituents
AU Peng, Zhonghua; Zhang, Jianheng; Xu, Bubin
CS Department of Chemistry, University of Missouri-Kansas City, Kansas City, MO, 64110, USA
SO Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (2000), 41(1), 881-882
CODEN: ACPPAY; ISSN: 0032-3934
PB American Chemical Society, Division of Polymer Chemistry
DT Journal
LA English
IT **269407-62-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(monomer intermediate; preparation of fluorescent poly(phenylenevinylene) containing oxadiazole side groups)
RN 269407-62-7 CAPLUS
CN Benzoic acid, 3,5-bis[5-[4-(1,1-dimethylethyl)phenyl]-1,3,4-oxadiazol-2-yl]-, hydrazide (9CI) (CA INDEX NAME)



AB An orange fluorescent poly(phenylenevinylene) of weight-average mol. weight 19,000
was obtained by the Heck coupling of 2,5-bis(dodecyloxy)-1,4-diiodobenzene with a prepared 1,4-divinylbenzene containing 6 oxadiazole groups. The photoluminescence quantum efficiency is only 6%, probably because of low-lying charge-transfer transitions.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:151451 CAPLUS
DN 132:207769
TI Preparation of isoquinolinones as effective component in medicine
IN Ukita, Shinzo; Ohmori, Kanji; Ikeo, Tomihiro
PA Tanabe Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 148 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

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     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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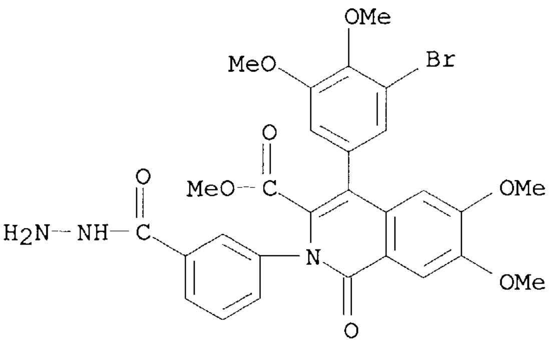
PI   JP 2000072675      A2   20000307       JP 1998-240446   19980826
                                            JP 1998-240446   19980826
```

OS MARPAT 132:207769
IT **212491-08-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoquinolinones as effective component in medicine)
RN 212491-08-2 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 4-(3-bromo-4,5-dimethoxyphenyl)-2-[3-(hydrazinocarbonyl)phenyl]-1,2-dihydro-6,7-dimethoxy-1-oxo-, methyl ester (9CI) (CA INDEX NAME)



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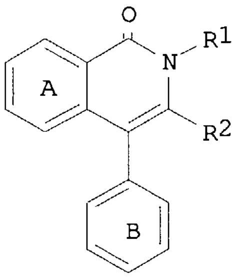

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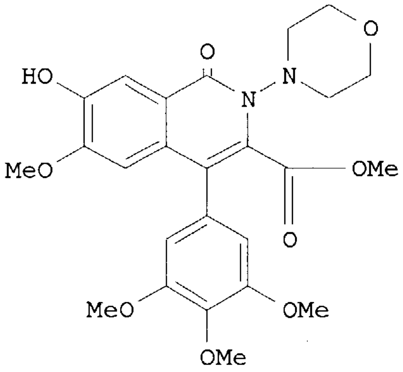

II

AB Title compds. [I; ring A and ring B equivalent or different, substituted or unsubstituted benzene ring; R1 = H, N(CH3)2, 4-H2NC6H4, 4-CH3OCOC6H4, alkyl, cycloalkyl, aryl, complex cyclic; R2 = COOH, COOCH3, COOCH2CH3, COOCH2C6H5, COO(CH2)3CH3] and pharmaceutical acceptable salts are prepared and tested as PDEV inhibitors. The title compound II was prepared

L3 ANSWER 21 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:608601 CAPLUS

DN 129:216521

TI Preparation of 1-isoquinolinone-3-carboxylates as PDE V inhibitors

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IN   Ukita, Tatsuzo; Omori, Kenji; Ikeo, Tomihiro
PA   Tanabe Seiyaku Co., Ltd., Japan
SO   PCT Int. Appl., 299 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
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PI   WO 9838168         A1   19980903       WO 1998-JP715     19980223
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             KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
             US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
             FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
             GA, GN, ML, MR, NE, SN, TD, TG
                                            JP 1997-44408     19970227
     AU 9862300         A1   19980918       AU 1998-62300     19980223
                                            JP 1997-44408     19970227
                                            WO 1998-JP715     19980223
     JP 10298164        A2   19981110       JP 1998-44139     19980226
                                            JP 1997-44408     19970227
OS   MARPAT 129:216521
IT   212491-08-2P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of 1-isoquinolinone-3-carboxylates as PDE V inhibitors)
RN   212491-08-2  CAPLUS
CN   3-Isoquinolinecarboxylic acid, 4-(3-bromo-4,5-dimethoxyphenyl)-2-[3-
     (hydrazinocarbonyl)phenyl]-1,2-dihydro-6,7-dimethoxy-1-oxo-, methyl ester
     (9CI)  (CA INDEX NAME)
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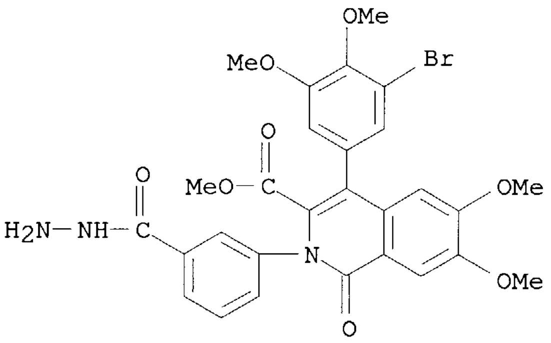

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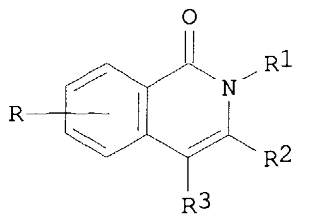
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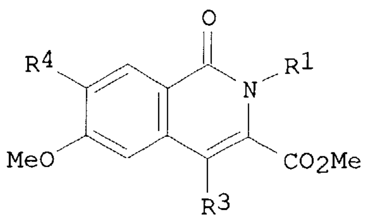
II

AB Title compds. [I; R = H or substituent(s); R1 = H, NH2, (cyclo)alkyl, heterocyclyl, aryl, etc.; R2 = (esterified) CO2H, CONH2, N-attached heterocyclylcarbonyl, etc.; R3 = (un)substituted Ph] were prepared as PDE V inhibitors (no data). Thus, 5-benzyloxy-4-methoxy-2-(3,4,5-trimethoxybenzoyl)benzoic acid was cyclocondensed with CH2(CO2CMe3)2 and the hydrated product cyclocondensed with 4-(H2N)C6H4NHCO2CMe3 to give, in 4 addnl. steps, title compound II [R1 = C6H4(NH2)-4, R3 = C6H2(OMe)3-3,4,5, R4 = 2-pyridylmethoxy].

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:186637 CAPLUS
DN 128:213389
TI Antineoplastic transferrin and albumin conjugates of cytostatic compounds selected from anthracyclines, alkylating agents, antimetabolites, and cisplatin analogs
IN Kratz, Felix
PA Germany
SO Ger. Offen., 18 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

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     PATENT NO.          KIND  DATE          APPLICATION NO.   DATE
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PI   DE 19636889          A1   19980312      DE 1996-19636889 19960911
     WO 9810794           A2   19980319      WO 1997-DE2000   19970909
     WO 9810794           A3   19980806
         W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK,
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             LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
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     AU 9745489           A1   19980402      AU 1997-45489     19970909
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                                             WO 1997-DE2000 W 19970909
     EP 934081            A2   19990811      EP 1997-943750    19970909
     EP 934081            B1   20040609
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
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                                             WO 1997-DE2000 W 19970909
    JP 2001500133     T2   20010109          JP 1998-513144   19970909
                                             DE 1996-19636889A 19960911
                                             WO 1997-DE2000 W 19970909
    AT 268608         E    20040615          AT 1997-943750   19970909
                                             DE 1996-19636889A 19960911
                                             WO 1997-DE2000 W 19970909
    US 6310039        B1   20011030          US 1999-254598   19990521
                                             DE 1996-19636889A 19960911
                                             WO 1997-DE2000 W 19970909
    US 2002019343     A1   20020214          US 2001-931940   20010820
    US 6709679        B2   20040323
                                             DE 1996-19636889A 19960911
                                             WO 1997-DE2000 W 19970909
                                             US 1999-254598 A119990521
OS  MARPAT 128:213389
IT  188530-71-4
    RL: RCT (Reactant); RACT (Reactant or reagent)
       (antineoplastic transferrin and albumin conjugates of cytostatic
       compds. selected from anthracyclines, alkylating agents,
       antimetabolites, and cisplatin analogs)
RN  188530-71-4  CAPLUS
CN  Benzoic acid, 3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-, hydrazide,
    mono(trifluoroacetate) (9CI)  (CA INDEX NAME)

    CM   1

    CRN  188530-70-3
    CMF  C11 H9 N3 O3
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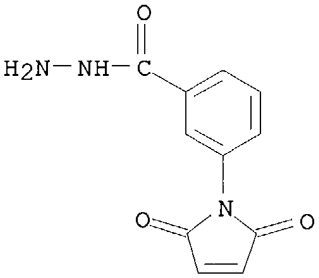

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    CM   2

    CRN  76-05-1
    CMF  C2 H F3 O2
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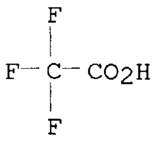
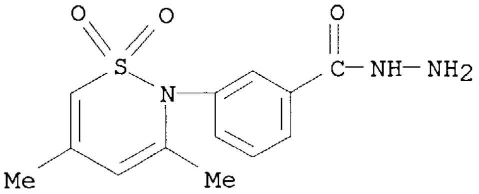


F_3C-CO_2H

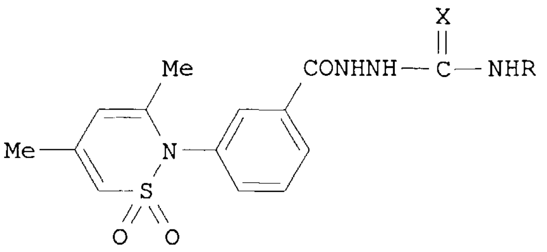
AB Conjugates of thiolated transferrin and/or albumin with maleimide-derivatized anthracyclines (doxorubicin, daunorubicin, epirubicin, idarubicin), alkylating agents (chlorambucil, melphalan), antimetabolites (5-fluorouracil, 5'-deoxy-5-fluorouridine), or cisplatin analogs, where the linkage is through an amide, ester, imine, hydrazone, acylhydrazone, urethane, acetal, or ketal group, show high antitumor activity and are water soluble and stable under physiol. conditions, and are therefore suitable for cancer treatment. Thus, transferrin was thiolated with iminothiolane; the number of SH groups introduced depended on the temperature and concentration ratio of iminothiolane to protein. Thiolated transferrin was conjugated with the 3'-amide of doxorubicin with p-maleimidophenylacetyl chloride. The product had cytostatic activity comparable to that of unconjugated doxorubicin against colon carcinoma HCT-116 cells in vitro.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:287907 CAPLUS
DN 126:317353
TI Reactions with sultones. V. Synthesis of some new 5-membered heterocyclic sultams
AU Abdel-Bary, Hamed M.; Abd El-Magied, Ahmed E.-S.; El-Zayat, Wafaey S.; Ismail, I. Imam
CS Chemistry Dep., Faculty of Science, Menoufia University, Manoufia, Egypt
SO Afinidad (1997), 54(468), 139-142
CODEN: AFINAE; ISSN: 0001-9704
PB Asociacion de Quimicos del Instituto Quimico de Sarria
DT Journal
LA Spanish/English
IT **182494-28-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of 5-membered heterocyclic sultams)
RN 182494-28-6 CAPLUS
CN Benzoic acid, 3-(3,5-dimethyl-1,1-dioxido-2H-1,2-thiazin-2-yl)-, hydrazide (9CI) (CA INDEX NAME)



GI



I

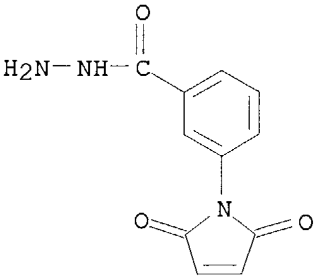
AB Triazoles, oxadiazoles and thiadiazoles were obtained by different routes of cyclization of semicarbazides I (R = Ph, p-tolyl, allyl; X = O, S) depending on the reaction conditions.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:266884 CAPLUS
DN 126:277361
TI Synthesis of new bifunctional maleimide compounds for the preparation of chemoimmunoconjugates
AU Beyer, U.; Kruger, M.; Schumacher, P.; Unger, C.; Kratz, F.
CS Abteilung Klinische Forschung, Klinik Tumorbiologie, Freiburg, D-79106, Germany
SO Monatshefte fuer Chemie (1997), 128(1), 91-102
CODEN: MOCMB7; ISSN: 0026-9247
PB Springer
DT Journal
LA German
IT **188530-71-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of bifunctional maleimides for immunoconjugates)
RN 188530-71-4 CAPLUS
CN Benzoic acid, 3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-, hydrazide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

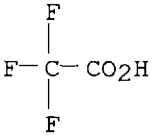
CM 1

CRN 188530-70-3
CMF C11 H9 N3 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

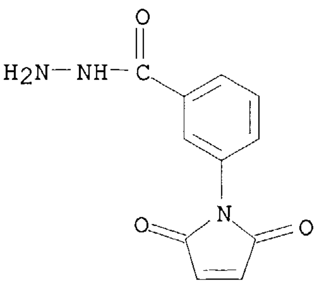


AB Bifunctional maleimide compds. are suitable for binding small mols. to carrier proteins in that they bind to the sulfhydryl group of proteins through the double bond of the maleimide group and to mols. of low mol. weight (e.g. anticancer drugs) through a functional group. Various functionalized maleimides were synthesized and characterized by 1H and 13C NMR, elemental anal., and mass spectrometry.

L3 ANSWER 25 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:188944 CAPLUS
DN 126:277688
TI Synthesis of new maleimide derivatives of daunorubicin and biological activity of acid labile transferrin conjugates
AU Kratz, Felix; Beyer, Ulrich; Schumacher, Peter; Krueger, Michael; Zahn, Heike; Roth, Thomas; Fiebig, Heinz H.; Unger, Clemens
CS Dept. Med. Oncology, Clin. Res., Tumor Biology Center, Freiburg, 79106, Germany
SO Bioorganic & Medicinal Chemistry Letters (1997), 7(5), 617-622
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
IT **188530-71-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antitumor activity of maleimide-containing daunorubicins)
RN 188530-71-4 CAPLUS
CN Benzoic acid, 3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-, hydrazide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

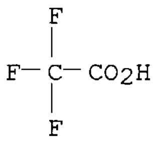
CM 1

CRN 188530-70-3
CMF C11 H9 N3 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



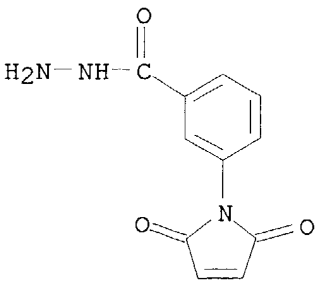
AB Maleimide groups were bound to the 3'-amino position of daunorubicin through a benzamide bond or to the 13-keto position through a benzoyl hydrazone or phenylacetyl hydrazone bond. The acid labile transferrin conjugates prepared with the latter two derivs. exhibited high activity in human melanoma cells (MEXF 989) using a clonogenic cell assay comparable to or exceeding that of daunorubicin.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:141729 CAPLUS
DN 126:242729
TI Synthesis and stability of four maleimide derivatives of the anticancer drug doxorubicin for the preparation of chemoimmunoconjugates
AU Krueger, Michael; Beyer, Ulrich; Schumacher, Peter; Unger, Clemens; Zahn, Heike; Kratz, Felix
CS Dept. Med. Oncology, Tumor Biology Center, Freiburg, 79106, Germany
SO Chemical & Pharmaceutical Bulletin (1997), 45(2), 399-401
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
IT **188530-71-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and stability of maleimide derivs. of doxorubicin for preparation of chemoimmunoconjugates)
RN 188530-71-4 CAPLUS
CN Benzoic acid, 3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-, hydrazide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

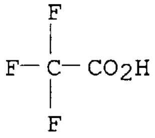
CM 1

CRN 188530-70-3
CMF C11 H9 N3 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



F_3C-CO_2H

AB By attaching maleimide groups to anticancer drugs, derivs. are obtained which bind selectivity to thiolated carrier proteins. Four maleimide derivs. of the anticancer drug doxorubicin were prepared in which 3-maleimidobenzoic acid or 4-maleimidophenylacetic acid was bound to the 3'-amino position of doxorubicin through a benzoyl or phenylacetyl amide bond (1 or 2) or in which 3-maleimidobenzoic acid hydrazide or 4-maleimidophenylacetic acid hydrazide was bound to the 13-keto position through a benzoyl or phenylacetyl hydrazone bond (3 or 4). The maleimide derivs. of doxorubicin were characterized by means of 13C-NMR spectroscopy, elemental anal. and mass spectrometry. In addition, the stability of the maleimide derivs. 1-4 at pH values of 5.0 and 7.4 was investigated with the aid of HPLC. The amide or hydrazone bond of 1-4 is stable at pH 7.4 whereas the hydrazone bond is acid-sensitive.

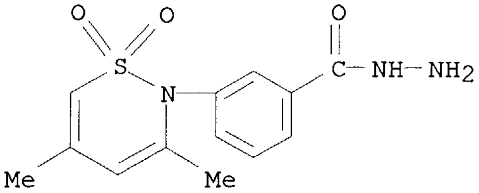
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:611437 CAPLUS
DN 125:300923
TI Reactions with sultones. IV
AU Zeid, I. F.; Ismail, I. Imam; El-Zayat, W.
CS Chemistry Department, Faculty Science, Menoufia University, Shebin El-Kom National Research Centre, Cairo, Egypt
SO Afinidad (1996), 53(464), 267-269
CODEN: AFINAE; ISSN: 0001-9704
PB Asociacion de Quimicos del Instituto Quimico de Sarria
DT Journal
LA English
IT **182494-28-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(reactions of sultones and sultams)

RN 182494-28-6 CAPLUS

CN Benzoic acid, 3-(3,5-dimethyl-1,1-dioxido-2H-1,2-thiazin-2-yl)-, hydrazide (9CI) (CA INDEX NAME)



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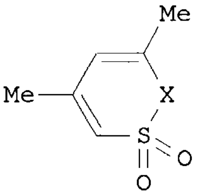


I

AB 2,4-Dimethyl-1,3-butadiene-1,4-sultone (I, X = O) reacted with Me 3-aminobenzoate to give I (X = NC6H4CO2Me-3) (II). Reaction of II with hydrazine hydrate or ammonia solution gave the acid hydrazide or the amide, resp. Hydrolysis of II with sodium methoxide gave the corresponding acid. The reaction of the hydrazide with benzaldehyde, salicylaldehyde, or 4-anisaldehyde afforded the corresponding arylidene carboxyhydrazides, resp. Treatment of the latter with acetic anhydride gave the corresponding 1,3,4-oxadiazole derivs. II [R = (un)substituted Ph], resp. Reaction of the hydrazide with isocyanates or isothiocyanates gave the corresponding semicarbazide derivs.

L3 ANSWER 28 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:175895 CAPLUS

DN 124:249654

TI Synthesis and Cyclic GMP Phosphodiesterase Inhibitory Activity of a Series of 6-Phenylpyrazolo[3,4-d]pyrimidones

AU Dumaitre, Bernard; Dodic, Nerina

CS Glaxo Wellcome Centre de Recherches, Les Ulis, 91951, Fr.

SO Journal of Medicinal Chemistry (1996), 39(8), 1635-44
CODEN: JMCMAR; ISSN: 0022-2623

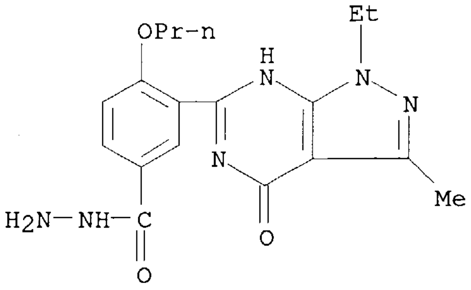
PB American Chemical Society

DT Journal

LA English

IT **168464-71-9P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(synthesis and cyclic GMP phosphodiesterase inhibitory activity of

phenylpyrazolopyrimidones)
RN 168464-71-9 CAPLUS
CN Benzoic acid, 3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy-, hydrazide (9CI) (CA INDEX NAME)



AB A series of 6-phenylpyrazolo[3,4-d]pyrimidones is described which are specific inhibitors of cGMP specific (type V) phosphodiesterase. Enzymic and cellular activity as well as in vivo oral antihypertensive activity are evaluated. A n-propoxy group at the 2-position of the Ph ring is necessary for activity. A series of products substituted at the 5-position in addition to the 2-n-propoxy was prepared and evaluated. This position can accommodate many unrelated groups. Amino derivs. were very potent but lacked metabolic stability. Substitution by carbon-linked small heterocycles provided both high levels of activity and stability. Cellular activity very often correlated with in vivo activity. Among the compds., 1,3-dimethyl-6-(2-propoxy-5-methanesulfonamidophenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one and 1-ethyl-3-methyl-6-(2-propoxy-5-(4-methylthiazol-2-yl)phenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one displayed outstanding in vivo activities at 5 mg/kg/os and good metabolic stabilities.

L3 ANSWER 29 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:833039 CAPLUS
DN 123:228202
TI Pyrazolopyrimidine derivatives as inhibitors of cGMP-specific PDE
IN Dumaitre, Bernard Andre; Dodic, Nerina
PA Laboratoires Glaxo SA, Fr.
SO Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

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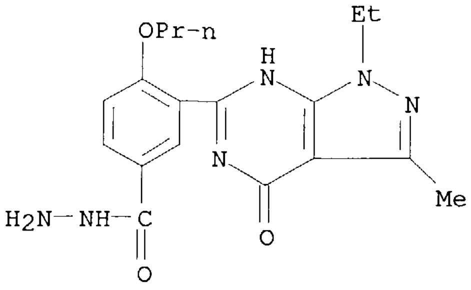
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   EP 636626          A1   19950201      EP 1994-202083   19940718
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                           GB 1993-15017    19930720
     JP 07070128        A2   19950314      JP 1994-188893   19940719
                                           GB 1993-15017    19930720
```

OS CASREACT 123:228202; MARPAT 123:228202
IT **168464-71-9P 168464-89-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

(Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidine derivs. as inhibitors of cGMP-specific PDE)

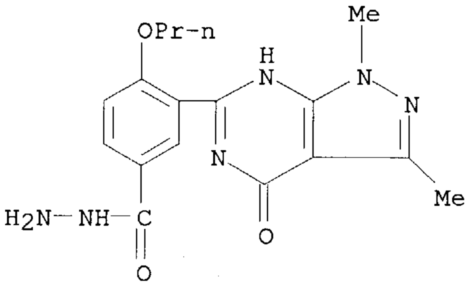
RN 168464-71-9 CAPLUS

CN Benzoic acid, 3-(1-ethyl-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy-, hydrazide (9CI) (CA INDEX NAME)

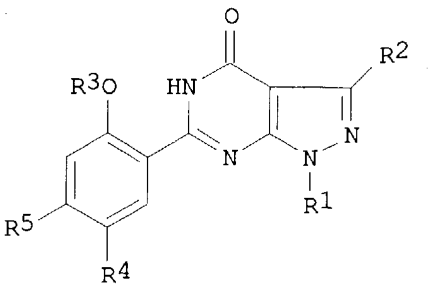


RN 168464-89-9 CAPLUS

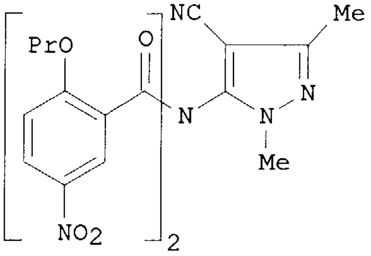
CN Benzoic acid, 3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-propoxy-, hydrazide (9CI) (CA INDEX NAME)



GI



I



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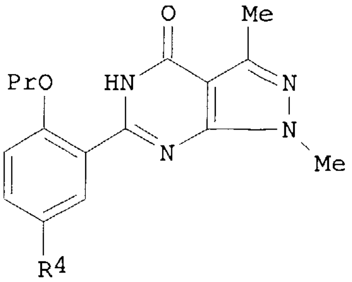


III

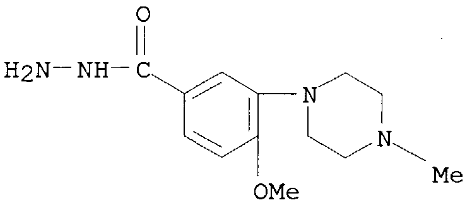
AB Title derivs. I and their salts and solvates are described [in which R1 = arylmethyl or (fluoro)alkyl; R2 = Me; R3 = alkyl; R4 = NO2, cyano, alkoxy, C(:X)NR6R7, NR8R9, (CH2)mNR10C(:Y)R11, (un)substituted thienyl, thiazolyl, or 1,2,4-triazolyl; or when R1 = arylmethyl or fluoroalkyl then R4 may also = H; R5, R6, R8, R10, R13, R15, R17 = H or alkyl; R7 = H, amino, OH, alkyl, aryl, arylalkyl; R9 = H, alkyl, SO2R12, CO2R12, C(:NCN)SR12 or C(:NCN)NR13R14; R11 = (halo)alkyl, aryl, arylalkyl, thienyl, NR15R16, CH2NR17R18; or R10R11, R10R15 = A(CH2)n; R12 = alkyl, aryl, arylalkyl; R14 = H, alkyl, aryl, arylalkyl; or NR13R14, NR15R16, NR17R18 = morpholino, (alkyl)piperazino; R16 = H, alkyl, aryl, arylalkyl, CO2R12, CH2CO2R12; R18 = H, alkyl, aryl, arylalkyl, COR12; A = CH2, CO; m = 0-1; n = 1-3; X = S, NH, and also O when R7 = amino; Y = O, S; R4 cannot = NO2 or NH2 when R1 = alkyl and R5 = H]. The compds. are potent and selective inhibitors of cyclic guanosine 3',5'-monophosphate specific phosphodiesterase (cGMP-specific PDE) and are useful in a variety of therapeutic areas, including the treatment of cardiovascular disorders. For example, cyclocondensation of MeNHNH2 with Me(EtO)C:C(CN)2 gave 5-amino-4-cyano-1,3-dimethylpyrazole, which reacted with 2 equiv 2-propoxy-5-nitrobenzoyl chloride to give cyanopyrazole intermediate II. Cyclization of II in a mixture of 30% H2O2 and 0.5N NaOH at 90° gave title compound III (R4 = NO2), which underwent hydrogenation to give III (R4 = NH2) and then mesylation with MeSO2Cl to give III (R4 = NHSO2Me). The latter 2 examples of III had IC50 of 1-10 nM against the title enzyme, vs. 200 nM for the known inhibitor zaprinast.

L3 ANSWER 30 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:568352 CAPLUS
DN 122:314282
TI Preparation of aniline and benzanilide compounds as 5-HT1D antagonists.
IN Mitchell, William Leonard; Bradshaw, John; Oxford, Alexander William; Clitherow, John Watson
PA Glaxo Group Ltd., UK
SO Brit. UK Pat. Appl., 78 pp.
CODEN: BAXXDU

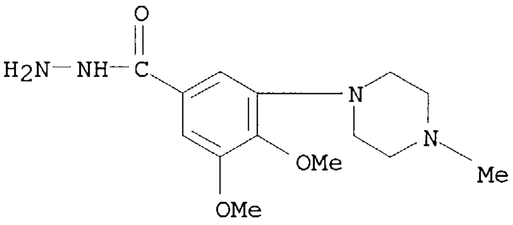
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2276165	A1	19940921	GB 1993-5523	19930317
				GB 1993-5523	19930317

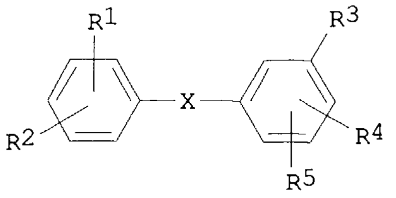
OS MARPAT 122:314282
IT **148546-77-4P 148546-87-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aniline and benzanilide compds. as 5-HT1D antagonists.)
RN 148546-77-4 CAPLUS
CN Benzoic acid, 4-methoxy-3-(4-methyl-1-piperazinyl)-, hydrazide (9CI) (CA INDEX NAME)



RN 148546-87-6 CAPLUS
CN Benzoic acid, 3,4-dimethoxy-5-(4-methyl-1-piperazinyl)-, hydrazide (9CI) (CA INDEX NAME)

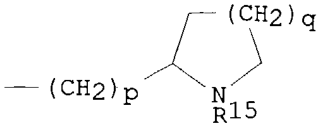


GI



I

b=



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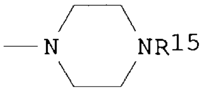
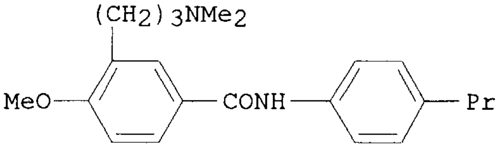




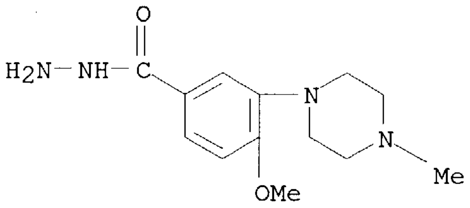
II

AB Title compds. I (R1 = H, C1-6 alkyl, C1-6 alkoxy; R2 = H, halo, C1-6 alkyl, HO-C1-6 alkyl, HO, C1-6 alkylthio, etc.; R3 = R14R13N(CH2)n, b, c wherein R13, R14 = H, C1-6 alkyl, n = 2-4, p, q = 1-3, R15 = R13; R4, R5 = H, halo, HO, C1-6 alkoxy, C1-6 alkyl; X = CONH, NHCO, CH2NH, NHCH2) or a salt thereof, 5-HT1D antagonists useful in treatment of CNS disorders, endocrine disorders and sexual dysfunction (no data), are prepared (E)-3-(2-cyanoethyl)-4-methoxybenzoic acid (preparation given) in THF was treated with Et3N followed bu MeSO2Cl and 4-propylbenzenamine to give (E)-3-(2-cyanoethenyl)-4-methoxy-N-(4-propylphenyl)benzamide which in dimethylamine/EtOH and DMF was added to PdOC to give tile compound II converted to the HCl salt.

L3 ANSWER 31 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:216801 CAPLUS
DN 122:10068
TI Preparation of heterocyclylethanone compounds as 5-HT1D antagonists.
IN Scopes, David Ian Carter; Campbell, Ian Baxter
PA Glaxo Group Ltd., UK
SO Brit. UK Pat. Appl., 48 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2276160	A1	19940921	GB 1993-5459	19930317
				GB 1993-5459	19930317

OS MARPAT 122:10068
IT **148546-77-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclylethanone compds. as 5-HT1D antagonists)
RN 148546-77-4 CAPLUS
CN Benzoic acid, 4-methoxy-3-(4-methyl-1-piperazinyl)-, hydrazide (9CI) (CA INDEX NAME)



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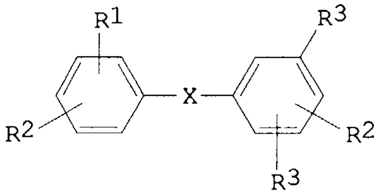

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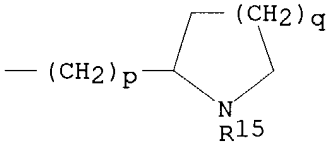
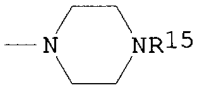
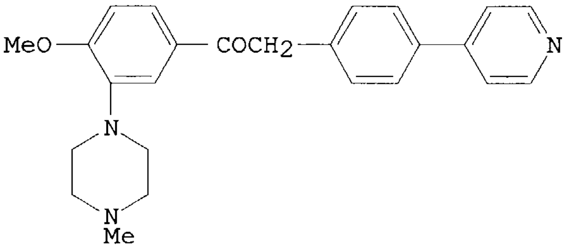
b= 

c= 



II

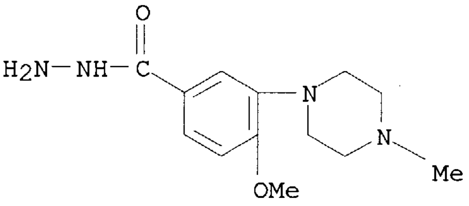
AB Title compds. I (R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2 = (substituted)Ph, (substituted) C1-4 alkoxyxlkyl, (substituted) oxadiazolyl, (substituted)imidazolyl, (substituted)dioxolanyl, (substituted)thioxolanyl, (substituted)pyridinyl; R3 = R14R13N(CH2)n wherein R13, R14 = H, C1-6 alkyl, n = 2-4, b, c wherein p, q = 1-3, R15 = R13; X = COCH2, CH2CO) or a salt thereof, 5-HT1D antagonists useful in treatment of CNS disorders, endocrine disorders and sexual dysfunction (no data), are prepared 2-(4-Bromophenyl)-1-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-1-ethanone (preparation given), 4-pyridinylboronic acid, Pd(Ph3P)4, DME and aqueous Na2CO3 were refluxed to give II.

L3 ANSWER 32 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:700904 CAPLUS
DN 121:300904
TI Aniline and benzanilide derivatives
IN Scopes, David Ian Carter; Clitherow, John Watson; Mitchell, William Leonard; Carter, Malcolm

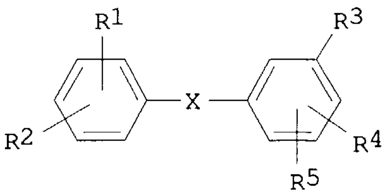
PA Glaxo Group Ltd., UK
SO Brit. UK Pat. Appl., 44 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2276164	A1	19940921	GB 1993-5510	19930317
				GB 1993-5510	19930317

OS MARPAT 121:300904
IT **148546-77-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anilines and benzanilides 5-HT1D antagonists)
RN 148546-77-4 CAPLUS
CN Benzoic acid, 4-methoxy-3-(4-methyl-1-piperazinyl)-, hydrazide (9CI) (CA INDEX NAME)



GI



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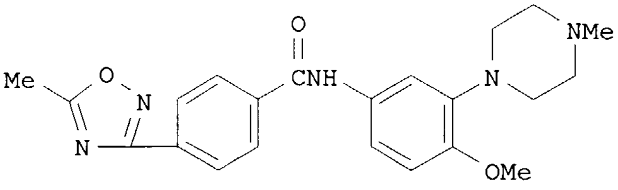

II

AB Aniline and benzanilides I (R1 = H, halo, alkyl, etc.; R2 = optionally substituted aromatic heterocyclic group; R3 = aminoalkyl, pyrrolidinylalkyl, etc.; R4, R5 = H, halo, hydroxy, etc.; X = CONH, amino, aminomethylene, etc.) were disclosed as 5-HT1D antagonists useful in the treatment of CNS disorders, endocrine disorders and sexual dysfunction. An example compound,

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     N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-4-(5-methyl-1,2,4-oxadiazol-
     3-yl)benzamide (II) was prepared

L3   ANSWER 33 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1994:680669  CAPLUS
DN   121:280669
TI   Benzanilide derivatives as 5-HT1D-antagonists
IN   Carter, Malcolm
PA   Glaxo Group Ltd., UK
SO   PCT Int. Appl., 48 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   WO 9415920         A1   19940721      WO 1993-EP3708   19931228
         W:  AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP,
             KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU,
             SD, SE, SK, UA, US, UZ, VN
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                           GB 1992-27116    19921230
                                           GB 1992-27125    19921230
     AU 9458155         A1   19940815      AU 1994-58155    19931228
                                           GB 1992-27116    19921230
                                           GB 1992-27125    19921230
                                           WO 1993-EP3708   19931228
     CN 1094037         A    19941026      CN 1993-121518   19931229
                                           GB 1992-27116    19921230
                                           GB 1992-27125    19921230
OS   MARPAT 121:280669
IT   148546-77-4P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of, as intermediate for (heteroaryl)-N-
        phenylbiphenylcarboxamide 5-HT1D antagonist)
RN   148546-77-4  CAPLUS
CN   Benzoic acid, 4-methoxy-3-(4-methyl-1-piperazinyl)-, hydrazide (9CI)  (CA
     INDEX NAME)
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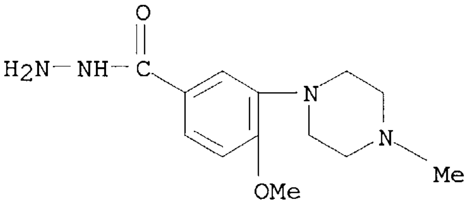

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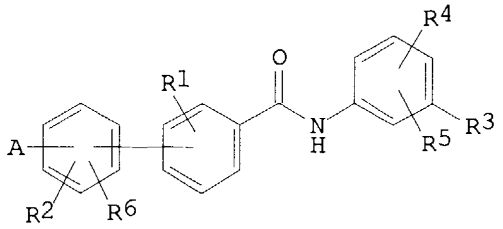

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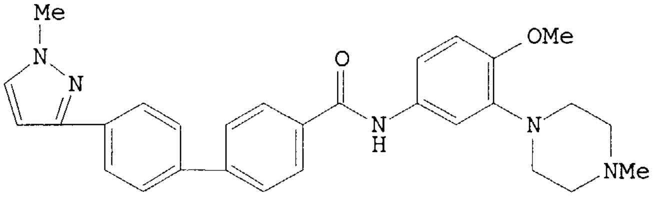

II

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AB   The title compds., more specifically, N-phenylbiphenylcarboxamides I (R1,
     R2 = H, halo, alkoxy, etc.; R3 = piperazinyl; R4-R6 = H, halo, alkyl,
     alkoxy, etc.; A = heterocyclic group) were disclosed.  I were claimed for
     the treatment of depression and other CNS disorders.  A specifically
     claimed example compound is N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-
     4'-(2-methyl-1H-pyrazol-3-yl)[1,1'-biphenyl]-4-carboxamide (II).

L3   ANSWER 34 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1994:435350  CAPLUS
DN   121:35350
TI   Preparation of 4-heterocyclylphenyl substituted dihydropyridines
IN   Schohe-Loop, Rudolf; Hartwig, Wolfgang; Junge, Bodo; Meier, Heinrich; Gao,
     Zhan; Schmidt, Bernard; De Jonge, Maarten; Schuurman, Teunis
PA   Bayer A.-G., Germany
SO   Eur. Pat. Appl., 37 pp.
     CODEN: EPXXDW
DT   Patent
LA   German
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
PI   EP 595164          A1   19940504      EP 1993-116862    19931019
     EP 595164          B1   19961227
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                           DE 1992-4236705   19921030
                                           DE 1992-4236706   19921030
     DE 4236706         A1   19940505      DE 1992-4236706   19921030
     DE 4236705         A1   19940505      DE 1992-4236705   19921030
     AT 146788          E    19970115      AT 1993-116862    19931019
                                           DE 1992-4236705   19921030
                                           DE 1992-4236706   19921030
     ES 2096179         T3   19970301      ES 1993-116862    19931019
                                           DE 1992-4236705   19921030
                                           DE 1992-4236706   19921030
     US 5403849         A    19950404      US 1993-141837    19931022
                                           DE 1992-4236705   19921030
                                           DE 1992-4236706   19921030
     CA 2109342         AA   19940501      CA 1993-2109342   19931027
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                                                DE 1992-4236705  19921030
                                                DE 1992-4236706  19921030
     JP 06199849       A2   19940719          JP 1993-289864   19931027
                                                DE 1992-4236705  19921030
                                                DE 1992-4236706  19921030
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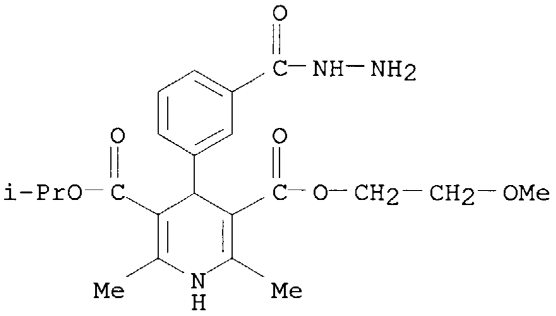
OS CASREACT 121:35350; MARPAT 121:35350

IT **155861-01-1P 155861-13-5P 155861-14-6P**
155861-15-7P 155861-16-8P 155861-17-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of heterocyclylphenyl substituted dihydropyridine)

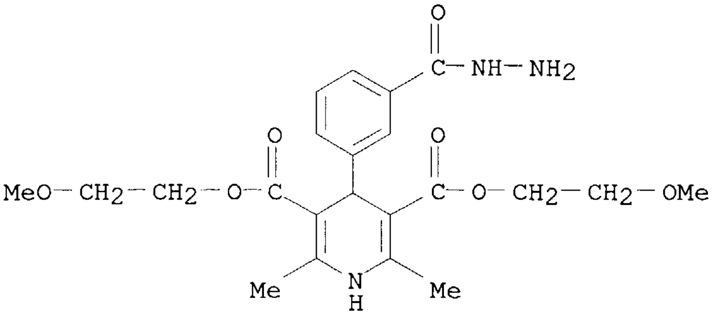
RN 155861-01-1 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-[3-(hydrazinocarbonyl)phenyl]-1,4-dihydro-2,6-dimethyl-, 2-methoxyethyl 1-methylethyl ester (9CI) (CA INDEX NAME)



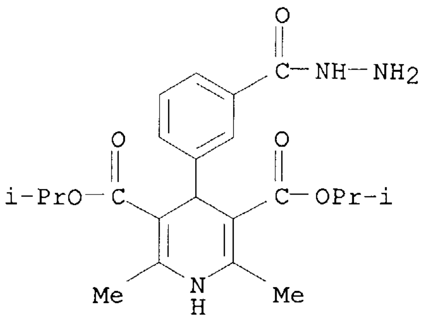
RN 155861-13-5 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-[3-(hydrazinocarbonyl)phenyl]-1,4-dihydro-2,6-dimethyl-, bis(2-methoxyethyl) ester (9CI) (CA INDEX NAME)



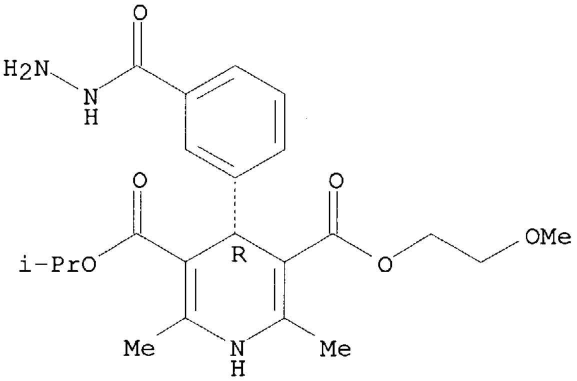
RN 155861-14-6 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-[3-(hydrazinocarbonyl)phenyl]-1,4-dihydro-2,6-dimethyl-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)



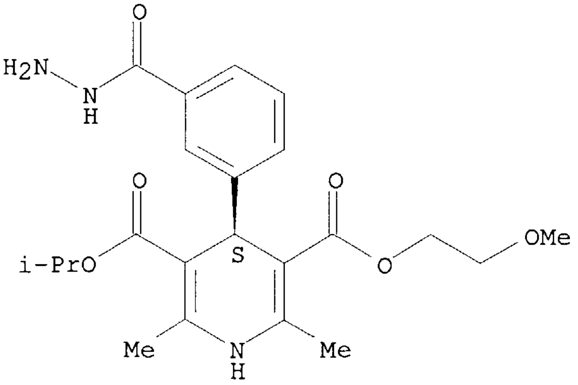
RN 155861-15-7 CAPLUS
CN 3,5-Pyridinedicarboxylic acid, 4-[3-(hydrazinocarbonyl)phenyl]-1,4-dihydro-2,6-dimethyl-, 2-methoxyethyl 1-methylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

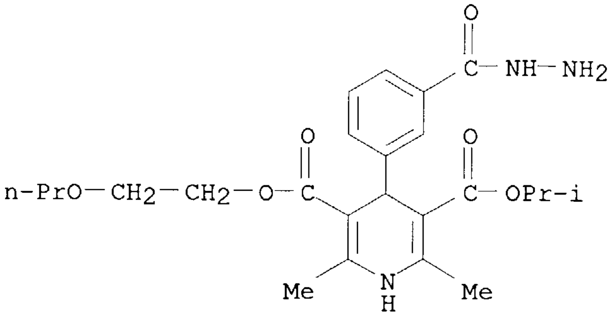


RN 155861-16-8 CAPLUS
CN 3,5-Pyridinedicarboxylic acid, 4-[3-(hydrazinocarbonyl)phenyl]-1,4-dihydro-2,6-dimethyl-, 2-methoxyethyl 1-methylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 155861-17-9 CAPLUS
CN 3,5-Pyridinedicarboxylic acid, 4-[3-(hydrazinocarbonyl)phenyl]-1,4-dihydro-2,6-dimethyl-, 1-methylethyl 2-propoxyethyl ester (9CI) (CA INDEX NAME)



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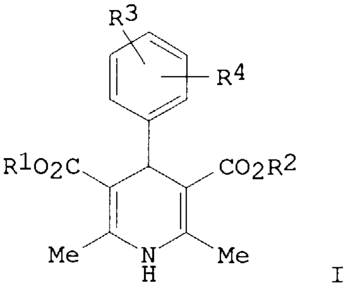

I

AB The preparation of title compds. I (R1, R2 = C3-8 cycloalkyl, C1-8 alkyl, alkoxyalkyl, cyano, aryloxy, etc., R3, R4 = 5-membered heterocyclic ring), useful for treatment of central nervous system diseases, is described. Thus, reaction of Me 3-formylbenzoate with CH3CO2CH2CH2OMe in the presence of piperidine in AcOH gave 94% benzylidene compound which on reaction with iso-Pr 3-aminocrotonate gave I (R1 = Me2CH, R2 = CH2CH2OMe, R3 = CO2Me, R4 = H). Hydrogenolysis of later compound followed by cyclization with trimethoxymethane gave 44% title compound I [R1 = Me2CH, R2 = CH2CH2OMe, R3 = 3-(1,3,4-oxadiazol-2-yl), R4 = H].

L3 ANSWER 35 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:409391 CAPLUS
DN 121:9391
TI Preparation of thiazole derivatives as active oxygen inhibitors
IN Chihiro, Masatoshi; Komatsu, Hajime; Tominaga, Michiaki; Yabuuchi, Yoichi
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

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     PATENT NO.        KIND  DATE            APPLICATION NO.  DATE
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PI   WO 9324472         A1   19931209        WO 1993-JP700    19930526
         W:  AU, CA, KR, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                             JP 1992-138165 A 19920529
     AU 9340895         A1   19931230        AU 1993-40895    19930526
     AU 657413          B2   19950309
                                             JP 1992-138165 A 19920529
                                             WO 1993-JP700  A 19930526
     EP 600092          A1   19940608        EP 1993-910397   19930526
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                             JP 1992-138165 A 19920529
                                             WO 1993-JP700  W 19930526
     JP 06065222        A2   19940308        JP 1993-126620   19930528
     JP 3385387         B2   20030310
                                             JP 1992-138165 A119920529
     US 5639770         A    19970617        US 1995-570187   19951211
                                             JP 1992-138165 A 19920529
                                             US 1994-182001 B119940125
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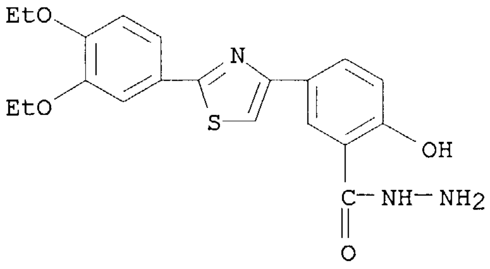
OS MARPAT 121:9391

IT **155467-43-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as active oxygen inhibitor)

RN 155467-43-9 CAPLUS

CN Benzoic acid, 5-[2-(3,4-diethoxyphenyl)-4-thiazolyl]-2-hydroxy-, hydrazide (9CI) (CA INDEX NAME)



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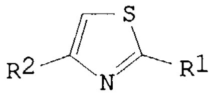

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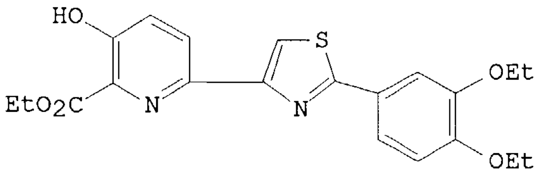


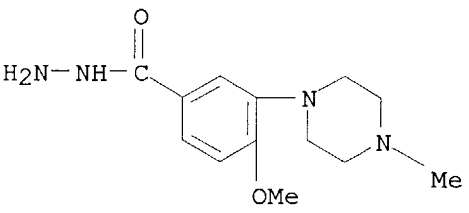
II

AB The title compds. I [R1 = Ph which may have 1 to 3 alkoxy substituents; R2 = (substituted) pyridylcarbonyl, a 5- to 15-membered mono-, di-, or tricyclic heterocyclic group bearing 1-3 N, O, or S atoms, or a substituted Ph group] were prepared A mixture of 2-ethoxycarbonyl-3-hydroxy-6-(2-bromoacetyl)pyridine, 3,4-diethoxythiobenzamide, and EtOH was refluxed for 3 h to give title compound II. The title compds. in vitro showed IC50 values of 0.0062 to 0.75 μM against superoxide formation from human neutrocytes.

L3 ANSWER 36 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:539268 CAPLUS
DN 119:139268
TI Preparation of piperazinylbenzanilide derivatives as 5-HT1D antagonists
IN Oxford, Alexander William; Mitchell, William Leonard; Bradshaw, John; Clitherow, John Watson; Baxter, Ian Campbell
PA Glaxo Group Ltd., UK
SO Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 533266	A1	19930324	EP 1992-202804	19920914
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
				GB 1991-19920	19910918
	CA 2078506	AA	19930319	CA 1992-2078506	19920917
				GB 1991-19920	19910918
	NO 9203617	A	19930319	NO 1992-3617	19920917
				GB 1991-19920	19910918
	AU 9224529	A1	19930325	AU 1992-24529	19920917
				GB 1991-19920	19910918
	CN 1071922	A	19930512	CN 1992-111662	19920917
				GB 1991-19920	19910918
	ZA 9207107	A	19930908	ZA 1992-7107	19920917
				GB 1991-19920	19910918
	JP 06107649	A2	19940419	JP 1992-273659	19920917
				GB 1991-19920	19910918
	US 5356893	A	19941018	US 1992-945878	19920917
				GB 1991-19920	19910918
	HU 66319	A2	19941128	HU 1992-2969	19920917
				GB 1991-19920	19910918

OS MARPAT 119:139268
IT **148546-77-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinylbenzanilide 5-HT1D antagonist)
RN 148546-77-4 CAPLUS
CN Benzoic acid, 4-methoxy-3-(4-methyl-1-piperazinyl)-, hydrazide (9CI) (CA INDEX NAME)



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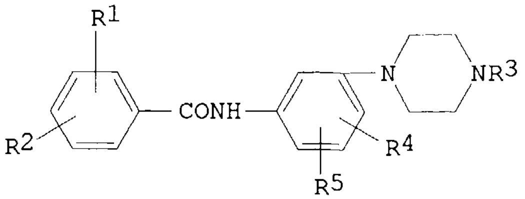

I

AB Title compds. [I; R1 = H, halo, alkyl, alkoxy; R2 = (substituted) Ph; R3 = H, alkyl; R4, R5 = H, halo, OH, alkoxy, alkyl], were prepared as 5-HT1D antagonists (no data). Thus, 4-(tert-butyldimethylsiloxy)phenylboronic acid, bimol. anhydride (preparation given) and 4-bromo-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]benzamide (preparation given) were refluxed with (Ph3P)4Pd and Na2CO3 in 1,2-dimethoxyethane to give 4'-hydroxy-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-1-(1,1'-biphenyl)-4-carboxamide.

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L3   ANSWER 37 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1993:517270  CAPLUS
DN   119:117270
TI   Preparation of azolylpiperazinylbenzanilide derivatives as 5-HT1D
     antagonists
IN   Oxford, Alexander William; Mitchell, William Leonard; Bradshaw, John;
     Clitherow, John Watson; Carter, Malcolm
PA   Glaxo Group Ltd., UK
SO   Eur. Pat. Appl., 40 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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PI   EP 533268          A1   19930324       EP 1992-202806   19920914
     EP 533268          B1   20010816
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                            GB 1991-19931  A 19910918
                                            GB 1992-5338   A 19920312
     AT 204262          E    20010915       AT 1992-202806   19920914
                                            GB 1991-19931  A 19910918
                                            GB 1992-5338   A 19920312
     ES 2162792         T3   20020116       ES 1992-202806   19920914
                                            GB 1991-19931  A 19910918
                                            GB 1992-5338   A 19920312
     PT 533268          T    20020228       PT 1992-202806   19920914
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                                            GB 1992-5338   A 19920312
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                                            GB 1991-19931  A 19910918
                                            GB 1992-5338   A 19920312
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     AU 9224530         A1   19930325       AU 1992-24530    19920917
     AU 656021           B2    19950119
                                          GB 1991-19931   A 19910918
                                          GB 1992-5338    A 19920312
     CN 1071919          A     19930512   CN 1992-111660    19920917
                                          GB 1991-19931   A 19910918
                                          GB 1992-5338    A 19920312
     ZA 9207108          A     19930908   ZA 1992-7108      19920917
                                          GB 1991-19931   A 19910918
     JP 06116251         A2    19940426   JP 1992-273619    19920917
     JP 3295143          B2    20020624
                                          GB 1991-19931   A 19910918
                                          GB 1992-5338    A 19920312
     HU 65608            A2    19940728   HU 1992-2968      19920917
                                          GB 1991-19931   A 19910918
                                          GB 1992-5338    A 19920312
     US 5340810          A     19940823   US 1992-946098    19920917
                                          GB 1991-19931   A 19910918
                                          GB 1992-5338    A 19920312
     IL 103198           A1    19960618   IL 1992-103198    19920917
                                          GB 1991-19931   A 19910918
                                          GB 1992-5338    A 19920312
     RU 2077535          C1    19970420   RU 1992-5052871   19920917
                                          GB 1991-19931   A 19910918
                                          GB 1992-5338    A 19920312
     CN 1076195          A     19930915   CN 1993-100711    19930109
                                          GB 1992-5338    A 19920312
     US 5510350          A     19960423   US 1994-237297    19940503
                                          GB 1991-19931   A 19910918
                                          GB 1992-5338    A 19920312
                                          US 1992-946098 A319920917
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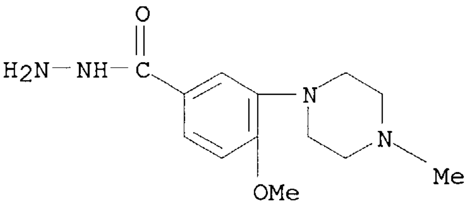
OS MARPAT 119:117270

IT **148546-77-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for 5-HT1D antagonist)

RN 148546-77-4 CAPLUS

CN Benzoic acid, 4-methoxy-3-(4-methyl-1-piperazinyl)-, hydrazide (9CI) (CA INDEX NAME)



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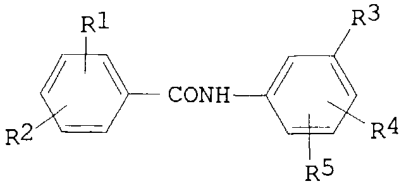

I

AB Title compds. [I; R1 = H, halo, alkyl, alkoxy; R2 = (substituted) azolylphenyl; R3 = (4-alkyl)piperazin-1-yl; R4, R5 = H, halo, OH, alkoxy, alkyl], were prepared as 5-HT10 antagonists (no data). Thus, 3-(4-bromo-3-methylphenyl)-5-methyl-1,2,4-oxadiazole (preparation given) and [4-[[[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]amino]carbonyl]phenyl]boronic acid (preparation given) were refluxed with Na2CO3 and (Ph3P)4Pd in DMF to give N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-2'-methyl-4'-(5-methyl-1,2,4-oxadiazol-3-yl)[1,1'-biphenyl]-4-carboxamide.

L3 ANSWER 38 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:449414 CAPLUS
DN 119:49414
TI Preparation of benzanilide derivatives as 5-HT1d antagonists
IN Oxford, Alexander William; Mitchell, William Leonard; Bradshaw, John; Clitherow, John Watson
PA Glaxo Group Ltd., UK
SO Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

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     PATENT NO.       KIND  DATE          APPLICATION NO.   DATE
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PI   EP 533267         A1   19930324      EP 1992-202805    19920914
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                          GB 1991-19932     19910918
     WO 9306084        A1   19930401      WO 1992-EP2136    19920914
         W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP,
            KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF,
            BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG
                                          GB 1991-19932     19910918
     AU 9225687        A1   19930427      AU 1992-25687     19920914
                                          GB 1991-19932     19910918
                                          WO 1992-EP2136    19920914
     HU 70516          A2   19951030      HU 1994-759       19920914
                                          GB 1991-19932     19910918
     CA 2078507        AA   19930319      CA 1992-2078507   19920917
                                          GB 1991-19932     19910918
     AU 9224528        A1   19930325      AU 1992-24528     19920917
                                          GB 1991-19932     19910918
     CN 1073430        A    19930623      CN 1992-111661    19920917
                                          GB 1991-19932     19910918
     ZA 9207106        A    19940317      ZA 1992-7106      19920917
                                          GB 1991-19932     19910918
     JP 06107637       A2   19940419      JP 1992-273660    19920917
                                          GB 1991-19932     19910918
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US 5358948	A	19941025	US 1992-946099	19920917
			GB 1991-19932	19910918
CN 1089944	A	19940727	CN 1993-100710	19930109
			GB 1991-19932	19910918
FI 9401261	A	19940317	FI 1994-1261	19940317
			GB 1991-19932	19910918
			WO 1992-EP2136	19920914
NO 9400974	A	19940317	NO 1994-974	19940317
			GB 1991-19932	19910918
			WO 1992-EP2136	19920914

OS MARPAT 119:49414

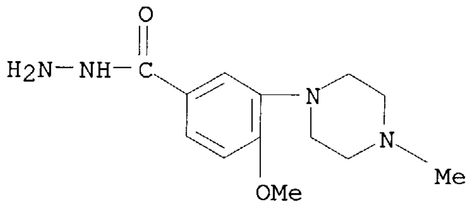
IT **148546-77-4P 148546-87-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and conversion of, to piperazinylbenzanilide derivative, in preparation of 5-HT1d antagonist)

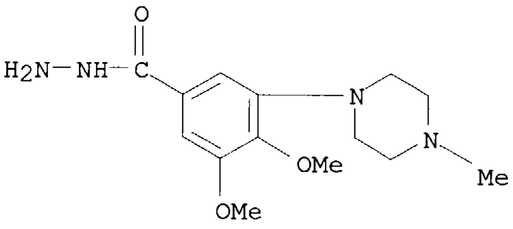
RN 148546-77-4 CAPLUS

CN Benzoic acid, 4-methoxy-3-(4-methyl-1-piperazinyl)-, hydrazide (9CI) (CA INDEX NAME)



RN 148546-87-6 CAPLUS

CN Benzoic acid, 3,4-dimethoxy-5-(4-methyl-1-piperazinyl)-, hydrazide (9CI) (CA INDEX NAME)



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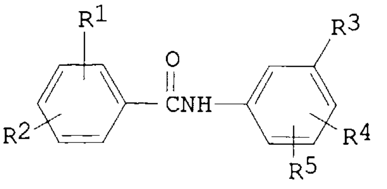
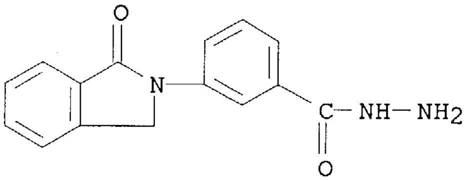


I

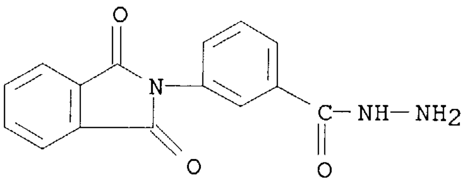
AB Piperazinobenzanilides I [R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2 = pyridinyl group (un)substituted by one or two substituents selected from halo, C1-6 alkyl, hydroxy C1-6 alkyl, C1-6alkoxyC1-6 alkyl, C1-6 alkoxy, OH, -CN, NO2, CO2R6, COR6, CONR6R7, (CH2)mOC(O)C1-4 alkyl (R6, R7 = H, C1-6 alkyl, m = integers 1-3); R3 = certain 4-substituted piperazino derivs.; R4, R5 (same or different) each independently = H, halo, OH, C1-6 alkoxy, C1-6 alkyl], and their physiol. acceptable salts or solvates, were prepared Compds. I exhibit 5-HT1d antagonist activity, and are claimed for treatment or prophylaxis of depression and other central nervous system disorders and for Parkinson's disease. Pharmaceutical compns. comprising compds. I are described.

L3 ANSWER 39 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:439892 CAPLUS
DN 119:39892
TI 4-(2-Phthalimidyl)benzohydrazide as fluorescent labeling reagent for aliphatic aldehydes in high-performance liquid chromatography
AU Tsuruta, Yasuto; Tonogaito, Hiroshi; Date, Yuuko; Sugino, Eiichi; Kohashi, Kazuya
CS Fac. Pharm. Pharm. Sci., Fukuyama Univ., Fukuyama, 729-02, Japan
SO Analytical Sciences (1993), 9(2), 311-13
CODEN: ANSCEN; ISSN: 0910-6340
DT Journal
LA English
IT **148520-05-2P**
RL: ANST (Analytical study); PREP (Preparation)
(preparation and properties and use of, as fluorescent labeling reagent for aldehydes in HPLC)
RN 148520-05-2 CAPLUS
CN Benzoic acid, 3-(1,3-dihydro-1-oxo-2H-isoindol-2-yl)-, hydrazide (9CI) (CA INDEX NAME)



AB 4- And 3-(2-phthalimidyl)benzohydrazides (p- and m-PBH) were prepared by reacting 4- and 3-(2-phthalimidyl)benzoyl chlorides with hydrazine monohydrate in presence of NaOH. The reaction products of p- and m-PBH from hexyl aldehyde and p-tolualdehyde are the corresponding hydrazone derivs. of p- and m-PBH which were confirmed by the elemental analyses, mass. spectrometry and 1H-NMR spectral data. The fluorescence spectra of p- and m-PBH derivs. of hexyl aldehyde and p-tolualdehyde in acetonitrile, methanol and 50% aqueous solvents showed the maximum at around ex 306 nm and em 420 nm for p-PBH and at around ex 300 nm and em 425 nm for m-PBH. The sensitivities of the p- and m-PBH derivs. were examined by HPLC by using hexyl aldehyde and p-tolualdehyde as the model compds. The sensitivities (S/N = 2) for the aldehydes were 0.1 and 8.8 pmol./injection for p-PBH and 1.8 and 5.8 mol./injection for m-PBH, resp. A mixture of aliphatic aldehydes were separated as p-PH with satisfactory results. The labeling reaction is very mild, so p-PBH will be useful for the determination of physiol. important aliphatic aldehydes in biol. fluids.

L3 ANSWER 40 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:247073 CAPLUS
DN 114:247073
TI Synthesis and reactions of N-(phthalimido)acetoxy- and benzoyloxyphthalimides
AU Arief, M. M. H.; Shaaban, A. F.; Essawy, S. A.; Khalil, A. A. M.
CS Fac. Sci., Banha Univ., Banha, Egypt
SO Egyptian Journal of Chemistry (1990), Volume Date 1988, 31(2), 151-66
CODEN: EGJCA3; ISSN: 0367-0422
DT Journal
LA English
OS CASREACT 114:247073
IT **106075-41-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 106075-41-6 CAPLUS
CN Benzoic acid, 3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, hydrazide (9CI) (CA INDEX NAME)



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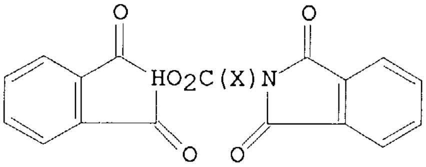

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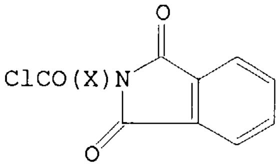

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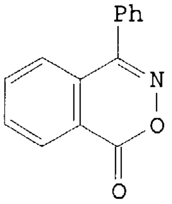
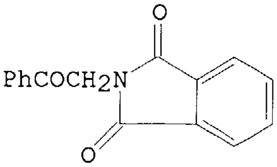


III



IV

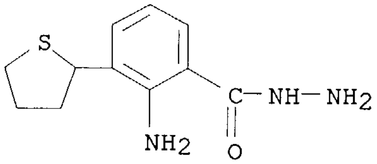
AB Phthalimidoacetoxy- and -benzoyloxyphthalimides I (X = CH2, o-phenylene, m-phenylene, p-phenylene) were prepared from N-hydroxyphthalimide and phthalimidoacyl chlorides II. Acid catalyzed ring cleavage of I with anhydrous AlCl3 in reactive aromatic substrates was studied. Thus, I (X = CH2) was treated with AlCl3 in C6H6 to give 50% phenylbenzoxazinone III and 51% (phenyloxoethyl)phthalimide IV.

L3 ANSWER 41 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:207749 CAPLUS
DN 112:207749
TI Pyrazoloquinazolone color photographic coupler
IN Tachibana, Kimie; Kaneko, Yutaka; Kita, Hiroshi
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

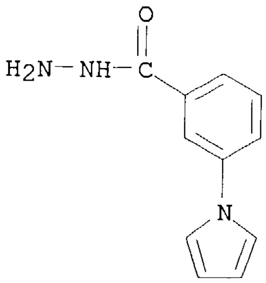
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 01210950	A2	19890824	JP 1988-36086	19880218
				JP 1988-36086	19880218

IT **76746-39-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, pyrazoloquinazolone color photog. coupler from)
RN 76746-39-9 CAPLUS
CN Benzoic acid, 2-amino-3-(tetrahydro-2-thienyl)-, hydrazide (9CI) (CA INDEX NAME)



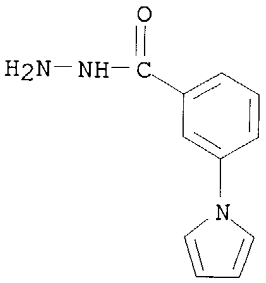
AB The title pyrazolo-[1',5':3,2]-quinazolone color photog. coupler has ≥1 substituent selected from mercapto, substituted thio, alkenyl, cycloalkenyl, alkynyl, heterocyclyl, aryloxy, heterocyclyloxy, siloxy, and carbamoyloxy, at a substitutable position (excluding activated point). Fastness against heat, humidity, and light can be improved.

L3 ANSWER 42 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:71672 CAPLUS
DN 110:71672
TI Spectroscopic studies of the reaction between bovine serum amine oxidase (copper-containing) and some hydrazides and hydrazines
AU Morpurgo, Laura; Befani, Olivia; Sabatini, Stefania; Mondovi, Bruno; Artico, Marino; Corelli, Federico; Massa, Silvio; Stefancich, Giorgio; Avigliano, Luciana
CS Dip. Sci. Biochim., Univ. Roma "La Sapienza", Rome, 00185, Italy
SO Biochemical Journal (1988), 256(2), 565-70
CODEN: BIJOAK; ISSN: 0306-3275
DT Journal
LA English
IT **112575-83-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with copper-containing amine oxidase of blood serum, spectroscopic studies of)
RN 112575-83-4 CAPLUS
CN Benzoic acid, 3-(1H-pyrrol-1-yl)-, hydrazide (9CI) (CA INDEX NAME)



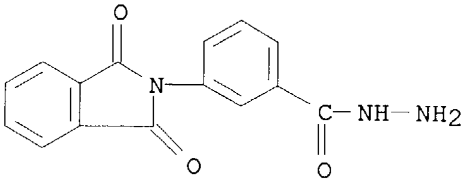
AB Pyrroloquinoline quinone, the carbonyl cofactor of bovine serum amine oxidase (EC 1.4.3.6) reacts stoichiometrically and irreversibly with hydrazides of phenylacetic acid and of benzoic acid. With the phenylacetic hydrazides, a reversible intermediate step was detected by competition with substrate, carbonylic reagents, or phenylhydrazine, a typical inhibitor of the enzyme. All hydrazides formed an intense broad band with maximum absorbance in a narrow wavelength range (350-360 nm), irresp. of the acyl group, suggesting that the transition is located on the organic cofactor. A different situation was found with some phenylhydrazines, where extended conjugation can occur between the cofactor and the Ph π-electron system via the azo group, as shown by the lower energy and higher intensity of the transition. In this case, the transition was sensitive to substituents in the Ph ring. The CD spectrum of the adducts was influenced by the type of hydrazide (derived from phenylacetic acid or benzoic acid), by pH, and by N,N-diethyldithiocarbamate binding to Cu, probably as a result of shifts of equilibrium between hydrazone-azo tautomers.

L3 ANSWER 43 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:218096 CAPLUS
DN 108:218096
TI Inhibition of copper-dependent amine oxidases by some hydrazides of pyrrol-1-ylbenzoic and pyrrol-1-ylphenylacetic acids
AU Artico, Marino; Corelli, Federico; Massa, Silvio; Stefancich, Giorgio; Avigliano, Luciana; Befani, Olivia; Marcozzi, Giordana; Sabatini, Stefania; Mondovi, Bruno
CS Ist. Chim. Farm. Tossicol., Univ. Roma "La Sapienza", Rome, Italy
SO Journal of Medicinal Chemistry (1988), 31(4), 802-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 108:218096
IT **112575-83-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and copper-dependent amine oxidases inhibition kinetics with)
RN 112575-83-4 CAPLUS
CN Benzoic acid, 3-(1H-pyrrol-1-yl)-, hydrazide (9CI) (CA INDEX NAME)



AB Some hydrazides of pyrrol-1-ylbenzoic and pyrrol-1-ylphenylacetic acids were prepared and their effect on copper-dependent amine oxidases (Cu-AOs) and FAD monoamine oxidases (MAOs) activities was tested. The compds. were not substrates for Cu-AO, but acted as noncompetitive inhibitors. Hydrazides of pyrrol-1-ylphenylacetic acids were highly specific for plasma amine oxidase (Ki = 0.5-1 μM). In contrast, all the hydrazides were weak inhibitors of MAO activity. Incubation with the hydrazide derivs. led to irreversible inactivation of Cu-AOs. Therefore, the inhibition implied 2 distinct steps. The 1st one consisted of the rapid formation of the enzyme-inhibitor complex and was reversed by dialysis. In the 2nd step, the complex was irreversibly transformed, probably by the formation of a Schiff base between the hydrazide and the prosthetic carbonyl group of the enzyme.

L3 ANSWER 44 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:598002 CAPLUS
DN 107:198002
TI Synthesis and reactions of new N-[phthalimido]acetoxy- and benzoyloxyphthalimides
AU Arief, M. M. H.; Shaaban, A. F.; Essawy, S. A.; Khalil, A. A. M.
CS Fac. Sci., Benha Univ., Benha, Egypt
SO Journal of the Chemical Society of Pakistan (1987), 9(2), 295-304
CODEN: JCSPDF; ISSN: 0253-5106
DT Journal
LA English
OS CASREACT 107:198002
IT **106075-41-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 106075-41-6 CAPLUS
CN Benzoic acid, 3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, hydrazide (9CI) (CA INDEX NAME)



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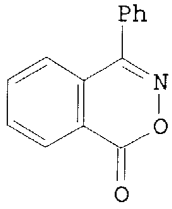
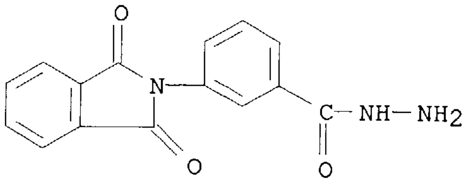

III

AB Condensation of N-hydroxyphthalimide with phthalimidoacetyl chloride, o-, m-, and p-RC6H4COCl (R = phthalimido) gave the title phthalimide derivs. RO2CCH2R (I) and RO2CC6H4R (II) in 50-64% yields. Beckmann rearrangement of I and II with 2 mols. of AlCl3 in an aromatic solvent, e.g. C6H6, gave benzoxazones, e.g. III, and the corresponding ketones, e.g. PhCOCH2R and PhCOC6H4R. Ring opening with 6 mols. of AlCl3 gave aroyl anilides, e.g. 2-BzC6H4CONHPh along with the corresponding ketones. Ring opening of I and II with R1C6H4NH2 (R1 = H, 4-MeO, 4-Me, 4-Cl, 4-CO2H, 3-CO2H, 2-CO2H) gave aryl phthalimides RR1, and RCH2CONHR1 and RC6H4CONHR1. Ring opening with PhNHNH2 and H2NNH2 gave similar products.

L3 ANSWER 45 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:32764 CAPLUS
DN 106:32764
TI Acid Azides. Part X. New synthesis and decomposition reactions of phthalimidobenzoic acid azides
AU Fahmy, A. F. M.; Aly, N. F.; Mohamed, M. M.; Arief, M. M. H.
CS Fac. Sci., Ain Shams Univ., Cairo, Egypt
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1986), 25B(3), 308-11
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 106:32764
IT **106075-41-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 106075-41-6 CAPLUS
CN Benzoic acid, 3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, hydrazide (9CI) (CA INDEX NAME)



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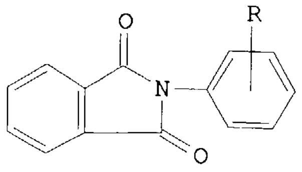


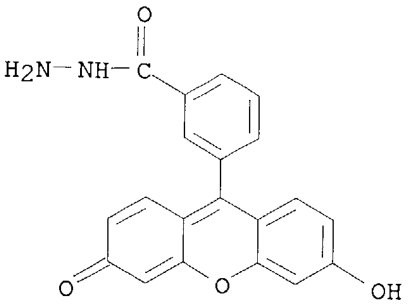
I

AB The o-, m-, and p-phthalimidobenzoic acid azides I (R = CON3) have been synthesized via the reaction of the corresponding acid chlorides I (R = COCl) with aqueous NaN3 in acetone. The base-catalyzed decomposition of I (R = CON3) with aromatic amines and/or hydrazines shows that o- and p-substituted azides react via the azido group displacement to give the corresponding anilides and/or hydrazides. However, m-substituted azide reacts via Curtius rearrangement to give the corresponding urea derivs.
Acid-catalyzed decomposition of the azides I (R = 2-, 4-CON3) with anhydrous AlCl3 in aromatic substrates give the corresponding ketones via the azido group displacement. Thus, I (R = 2-CON3) reacted with benzene to give I (R = 2-Bz). However, the m-substituted azide gives the anilide derivative via Curtius rearrangement. Thus, I (R = 3-CON3) gave I (R = 3-NHBz).

L3 ANSWER 46 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1985:579657 CAPLUS
DN 103:179657
TI Hydrazine derivatives of fluorans and their use in electrochromic recording systems
IN Hung, William Mo Wei
PA Hilton-Davis Chemical Co., USA
SO Eur. Pat. Appl., 94 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 141962	A1	19850522	EP 1984-110835	19840911
	R: CH, DE, GB, LI				
				US 1983-532420	19830915
	JP 60094982	A2	19850528	JP 1984-194540	19840917
				US 1983-532420	19830915

IT **98907-27-8P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of, as electrochromic recording material)
RN 98907-27-8 CAPLUS
CN Benzoic acid, 3-(6-hydroxy-3-oxo-3H-xanthen-9-yl)-, hydrazide (9CI) (CA INDEX NAME)



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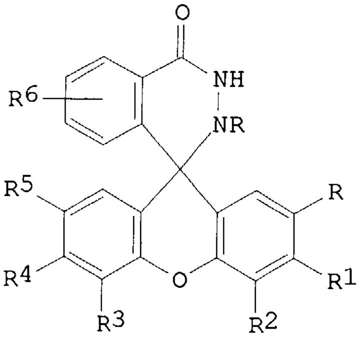

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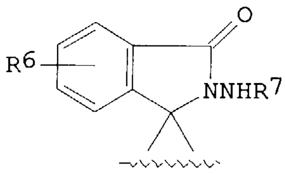


II

AB Color-forming title compds., e.g., I, II [R = alkyl, (substituted) amino; R1 = H, OH, alkyl; R2, R3, R5 = H, halo; R4 = H, substituted amino; R6 = H, NO2, NH2, CO2H, alkoxy carbonyl, etc.; R7 = H, (substituted) Ph, alkoxy, halo, etc.] were prepared Refluxing a mixture of 1250.0 mL glyme, 202.3 g 2-anilino-3-methyl-6-(diethylamino)fluoran [29512-49-0], and 204.0 mL 85% H2NNH2·H2O [7803-57-8] for about 56 h gave 61.0 g of a 95.6:4.4 mixture of I (R = NHPh, R1 = Me, R2 = R3 = R5 = R6 = H, R4 = Et2N) [98907-40-5] and II (R-R6 same as above, R7 = H) [98925-61-2]. These fluoran derivs. were useful in electrochromic recording systems.

L3 ANSWER 47 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1984:551836 CAPLUS
DN 101:151836
TI 2-Guanyl-4-(substituted phenyl)thiazole derivatives
IN Santilli, Arthur A.; Scotese, Anthony C.; Morris, Robert L.; Bell, Stanley C.
PA American Home Products Corp., USA
SO U.S., 8 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

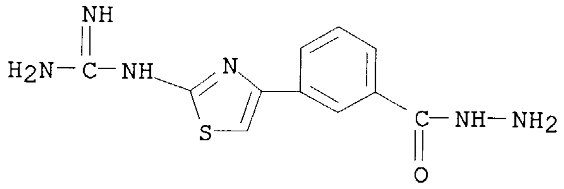
PI US 4452985 A 19840605 US 1983-466239 19830214
US 1983-466239 19830214

OS CASREACT 101:151836

IT **92132-83-7P 92132-84-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and histamine receptor blocker activity of)

RN 92132-83-7 CAPLUS

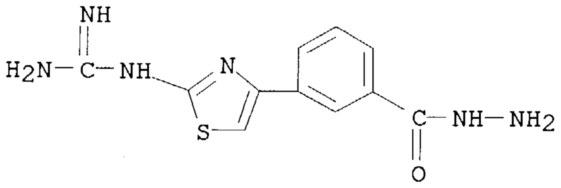
CN Benzoic acid, 3-[2-[(aminoiminomethyl)amino]-4-thiazolyl]-, hydrazide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 92132-84-8 CAPLUS

CN Benzoic acid, 3-[2-[(aminoiminomethyl)amino]-4-thiazolyl]-, hydrazide (9CI) (CA INDEX NAME)



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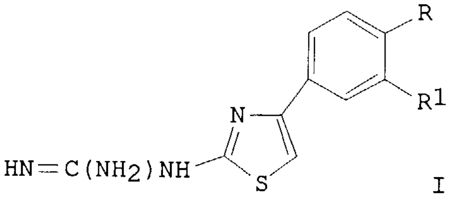

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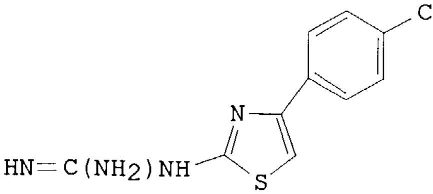

II

AB H2 histamine receptor antagonists, title compds. I [R = H, R1 = guanyl, alkoxyimino, alkylaminoimino, C(NH2):NOH, C(NH2):NOCONH2, C(NH2):NOAc, 5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl; R = cyano, cyanoalkyl, R1 = H] were prepared Thus 4-AcC6H4CN was brominated to give 4-BrCH2COC6H4CN, which condensed with H2NC(:NH)NHC(S)NH2 to give thiazolylguanidine II. At 16 mg/kg interduodenally in rats, II gave 50% inhibition of H+ output without

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     affecting the volume of secretion.

L3   ANSWER 48 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1983:72126  CAPLUS
DN   98:72126
TI   Guanidine derivatives
IN   Yellin, Tobias Oregon; Gilman, David John
PA   Imperial Chemical Industries PLC, UK; ICI Americas, Inc.
SO   Eur. Pat. Appl., 86 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   EP 60094           A2   19820915      EP 1982-301106   19820304
     EP 60094           A3   19821117
     EP 60094           B1   19860122
         R:  AT, BE, CH, DE, FR, GB, IT, LU, NL, SE
                                           GB 1981-7273     19810309
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     CA 1233818         A1   19880308      CA 1982-396836   19820223
                                           GB 1981-7273     19810309
                                           GB 1981-28179    19810917
     US 4447441         A    19840508      US 1982-353502   19820301
                                           GB 1981-7273     19810309
                                           GB 1981-28179    19810917
     AT 17575           E    19860215      AT 1982-301106   19820304
                                           GB 1981-7273     19810309
                                           GB 1981-28179    19810917
                                           EP 1982-301106   19820304
     AU 8281172         A1   19820916      AU 1982-81172    19820305
     AU 560043          B2   19870326
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     PL 138527          B1   19860930      PL 1982-235333   19820305
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     SU 1272978         A3   19861123      SU 1982-3411500  19820305
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                                           GB 1981-7273     19810309
                                           GB 1981-28179    19810917
     CS 241503          B2   19860313      CS 1982-1570     19820308
                                           GB 1981-7273     19810309
     IN 160139          A    19870627      IN 1982-DE193    19820308
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JP 02019110	B4	19900427		
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ZA 8201568	A	19830126	ZA 1982-1568	19820309
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HU 29931	O	19840228	HU 1982-715	19820309
HU 187565	B	19860128		
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IL 65216	A1	19871220	IL 1982-65216	19820310
			GB 1981-7273	19810309
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SU 1194271	A3	19851123	SU 1982-3506796	19821026
			GB 1981-28179	19810917
SU 1233799	A3	19860523	SU 1982-3506256	19821026
			GB 1981-7273	19810309
SU 1287747	A3	19870130	SU 1982-3503157	19821026
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ES 518704	A1	19840201	ES 1982-518704	19821230
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			GB 1981-28179	19810917
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			GB 1981-7273	19810309
			CS 1982-1570	19820308
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			GB 1981-28179	19810917
			US 1982-353502	19820301
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			GB 1981-28179	19810917
			CA 1982-396836	19820223
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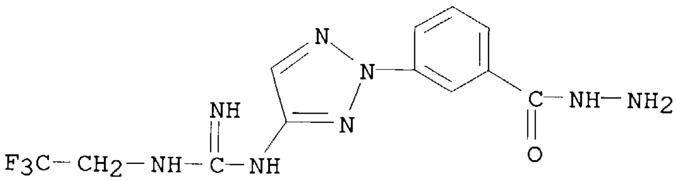
AU 582492	B2	19890323		
			GB 1981-7273	19810309
			GB 1981-28179	19810917
AU 8768894	A1	19870514	AU 1987-68894	19870217
AU 582948	B2	19890413		
			GB 1981-7273	19810309
			GB 1981-28179	19810917

OS CASREACT 98:72126
IT **84544-39-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 84544-39-8 CAPLUS
CN Benzoic acid, 3-[4-[[imino[(2,2,2-trifluoroethyl)amino]methyl]amino]-2H-1,2,3-triazol-2-yl]-, hydrazide (9CI) (CA INDEX NAME)



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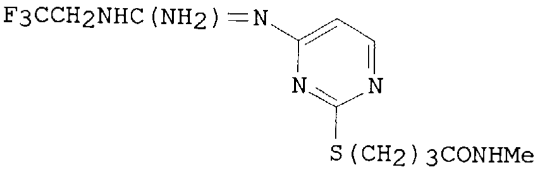


I

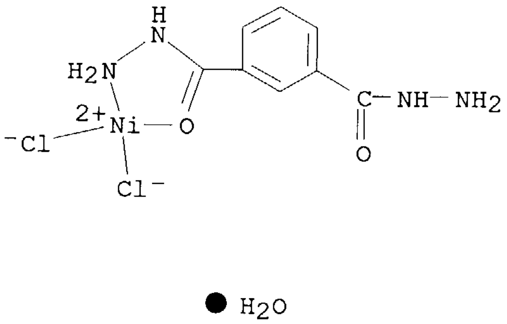
AB RR1NC(NH2):N-X-X1-CX2-NR2R3 [X = N heterocyclic; X1 = C6H4, cycloalkanediyl, alkylene; X2 = O, S; R, R1 = H, (un)substituted alkyl, cycloalkyl; R2 = H, OH, amino, (un)substituted alkyl, cycloalkyl, aryl, heterocyclic; R3 = H; NR2R3 = heterocyclic) were prepared for use as gastric secretion inhibitors. Thus, 2-thiocytosine was treated with Br(CH2)3CO2Et and the resulting aminopyrimidinylthiobutyrate was treated with F3CCH2NCS to give the thiourea which was treated with NH3, followed by amidation with MeNH2 to give I.

L3 ANSWER 49 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1982:573896 CAPLUS
DN 97:173896

TI Complexes of copper(II), nickel(II), and cobalt(II) with isophthalic dihydrazide
AU Kumbhar, C. G.
CS Inst. Armament Technol., Pune, 411 025, India
SO Proceedings - Indian Academy of Sciences, Chemical Sciences (1982), 91(1), 1-6
CODEN: PIAADM; ISSN: 0253-4134
DT Journal
LA English
IT **83328-47-6P 83328-49-8P 83328-51-2P**
83328-53-4P 83335-10-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thermal decomposition of)
RN 83328-47-6 CAPLUS
CN Nickel, (1,3-benzenedicarboxylic acid dihydrazide)dichloro-, monohydrate, homopolymer (9CI) (CA INDEX NAME)

CM 1

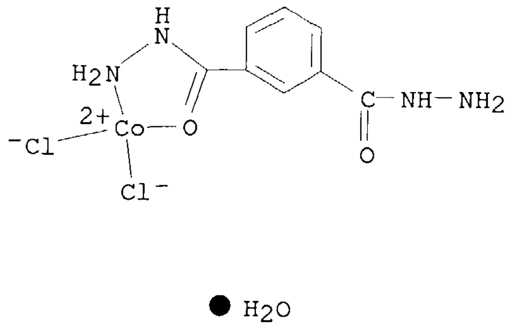
CRN 83328-46-5
CMF C8 H10 Cl2 N4 Ni O2 . H2 O
CCI CCS



RN 83328-49-8 CAPLUS
CN Cobalt, (1,3-benzenedicarboxylic acid dihydrazide)dichloro-, monohydrate, homopolymer (9CI) (CA INDEX NAME)

CM 1

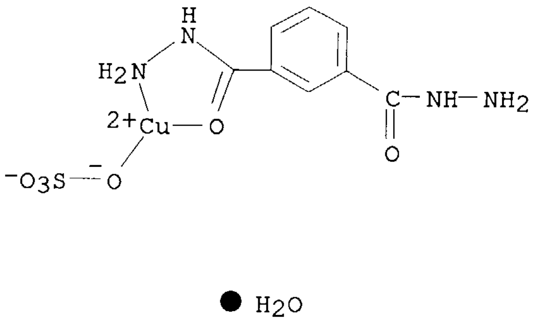
CRN 83328-48-7
CMF C8 H10 Cl2 Co N4 O2 . H2 O
CCI CCS



RN 83328-51-2 CAPLUS
CN Copper, (1,3-benzenedicarboxylic acid dihydrazide)[sulfato(2-)-O]-, monohydrate, homopolymer (9CI) (CA INDEX NAME)

CM 1

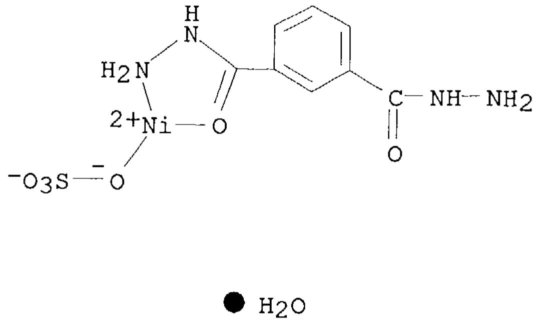
CRN 83328-50-1
CMF C8 H10 Cu N4 O6 S . H2 O
CCI CCS



RN 83328-53-4 CAPLUS
CN Nickel, (1,3-benzenedicarboxylic acid dihydrazide)[sulfato(2-)-O]-, monohydrate, homopolymer (9CI) (CA INDEX NAME)

CM 1

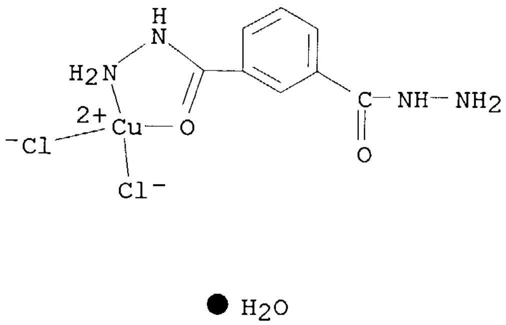
CRN 83328-52-3
CMF C8 H10 N4 Ni O6 S . H2 O
CCI CCS



RN 83335-10-8 CAPLUS
CN Copper, (1,3-benzenedicarboxylic acid dihydrazide)dichloro-, monohydrate, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 83335-09-5
CMF C8 H10 Cl2 Cu N4 O2 . H2 O
CCI CCS



AB Complexes of isophthalic dihydrazide (IPZ) of the type MCl2(IPZ).H2O (M = Cu, Ni, Co) and MSO4(IPZ).H2O (M = Cu, Ni) were prepared and characterized from elemental anal., magnetic moment, visible, IR, and ESR spectra. Based on these data a polymeric octahedral structure has been assigned to MCl2(IPZ).H2O complexes and a sulfate-bridged 4-coordinate polymeric structure for MSO4(IPZ).H2O complexes. Thermogravimetric studies of these complexes show that the thermal stability decreases in the order Ni > Co > Cu.

L3 ANSWER 50 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:515584 CAPLUS
DN 95:115584
TI Pyrazolo[5,1-b]quinazolin-9-(4H)-ones and antiallergic pharmaceutical compositions containing them
IN Sircar, Jagadish C.; Capiris, Thomas
PA Warner-Lambert Co. , USA
SO U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 19,020, abandoned.
CODEN: USXXAM
DT Patent
LA English

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FAN.CNT 4
     PATENT NO.         KIND  DATE             APPLICATION NO.   DATE
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PI   US 4261996          A    19810414         US 1980-111148    19800111
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                                               US 1979-19020     19790308
     DK 8000259          A    19800725         DK 1980-259       19800122
                                               US 1979-6044      19790124
                                               US 1979-6045      19790124
                                               US 1979-6046      19790124
                                               US 1979-19020     19790308
                                               US 1980-111147    19800111
                                               US 1980-111148    19800111
                                               US 1980-111160    19800111
     EP 15065            A1   19800903         EP 1980-300216    19800123
     EP 15065            B1   19831228
         R:  BE, CH, DE, FR, GB, IT, NL, SE
                                               US 1979-6044      19790124
                                               US 1979-6045      19790124
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                                               US 1980-111147    19800111
                                               US 1980-111148    19800111
                                               US 1980-111160    19800111
PATENT FAMILY INFORMATION:
FAN  1981:103413
     PATENT NO.         KIND  DATE             APPLICATION NO.   DATE
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PI   EP 15065            A1   19800903         EP 1980-300216    19800123
     EP 15065            B1   19831228
         R:  BE, CH, DE, FR, GB, IT, NL, SE
                                               US 1979-6044      19790124
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                                               US 1979-6046      19790124
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                                               US 1980-111148    19800111
                                               US 1980-111160    19800111
     US 4247555          A    19810127         US 1980-111147    19800111
                                               US 1979-6046      19790124
     US 4261996          A    19810414         US 1980-111148    19800111
                                               US 1979-6044      19790124
                                               US 1979-19020     19790308
     US 4261997          A    19810414         US 1980-111160    19800111
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     JP 55122781         A2   19800920         JP 1980-7373      19800123
                                               US 1979-6044      19790124
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                                               US 1979-6046      19790124
FAN  1981:407321
     PATENT NO.         KIND  DATE             APPLICATION NO.   DATE
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PI   US 4247555          A    19810127         US 1980-111147    19800111
                                               US 1979-6046      19790124
     DK 8000259          A    19800725         DK 1980-259       19800122
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                                               US 1979-6045      19790124
                                               US 1979-6046      19790124
                                               US 1979-19020     19790308
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     EP 15065          A1    19800903        EP 1980-300216    19800123
     EP 15065          B1    19831228
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                                             US 1980-111147    19800111
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FAN  1981:497838
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PI   US 4261997         A    19810414        US 1980-111160    19800111
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                                             US 1979-6045      19790124
                                             US 1979-6046      19790124
                                             US 1979-19020     19790308
                                             US 1980-111147    19800111
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     EP 15065          B1    19831228
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                                             US 1980-111160    19800111
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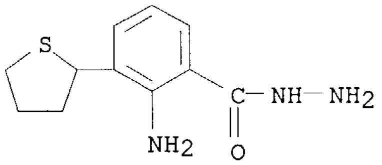
IT **76746-39-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76746-39-9 CAPLUS

CN Benzoic acid, 2-amino-3-(tetrahydro-2-thienyl)-, hydrazide (9CI) (CA INDEX NAME)



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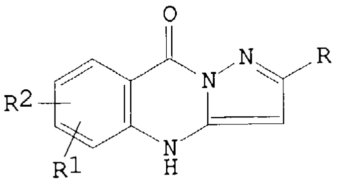
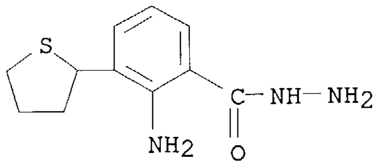


I

AB Pyrazoloquinazolones I (R = CO2H, CONH2, CN, 5-tetrazolyl; R1 = H, OH, alkyl, alkoxy, halogen, CF3, alkylthio, alkylsulfinyl, alkylsulfonyl; R2 = H, OH, alkyl, alkoxy, 2-tetrahydrothienyl) were prepared Thus, 2-amino-5-methylthiobenzoylhydrazine (II) was treated with EtO2CCOCHNaCO2Et to give I (R = CO2H, R1 = 7-SMe, R2 = H) which was oxidized to I (R = CO2H, R1 = 7-SOMe, R2 = H)(III). II was prepd from 5,2-Cl(O2N)C6H3CO2H in 4 steps. III gave 76% inhibition of passive cutaneous anaphylaxis at 5 mg/kg i.p. in rats.

L3 ANSWER 51 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:192216 CAPLUS
DN 94:192216
TI Reactions of 2H-3,1-benzoxazine-2,4(1H)-dione (isatoic anhydride) with anions of 1,4-dihydro-5H-pyrazol-5-ones: synthesis of pyrazolo[5,1-b]quinazolin-9-ones
AU Sircar, Jagadish C.; Capiris, Thomas; Kesten, Stephen J.
CS Dep. Chem., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SO Journal of Heterocyclic Chemistry (1981), 18, 117-21
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 94:192216
IT **76746-39-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization with di-Et oxalacetate)
RN 76746-39-9 CAPLUS
CN Benzoic acid, 2-amino-3-(tetrahydro-2-thienyl)-, hydrazide (9CI) (CA INDEX NAME)



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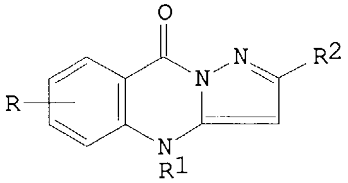

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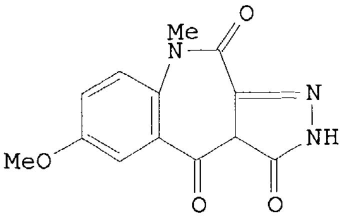

II

AB Reactions of isatoic anhydrides with anions of 1,4-dihydro-5H-pyrazol-5-ones gave pyrazoloquinazolinones I (R = H, 7-Cl; R1 = Me, Et, PhCH2; R2 = Me, CO2Et). However, 5-methoxyisatoic anhydride gave I (R = 7-MeO, R1 = Me, R2 = CO2Et) and pyrazolobenzazepine II. A new synthetic method of preparation of 5-(alkylthio)-2-aminobenzoic acids was described. These acids were used to prepare I (R = 7-MeS, 7-BuS, 7-Me2CHS; R1 = H; R2 = CO2H).

L3 ANSWER 52 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:103413 CAPLUS
DN 94:103413
TI Pyrazolo (5,1-b) quinazolin-9(4H)-one derivatives for pharmaceutical compositions
IN Sircar, Jagadish Chandra; Capiris, Thomas; Kesten, Stephen Jacob
PA Warner-Lambert Co., USA
SO Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 15065	A1	19800903	EP 1980-300216	19800123
	EP 15065	B1	19831228		
	R: BE, CH, DE, FR, GB, IT, NL, SE				
				US 1979-6044	19790124
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				US 1979-6046	19790124
				US 1980-111147	19800111
				US 1980-111148	19800111
				US 1980-111160	19800111
	US 4247555	A	19810127	US 1980-111147	19800111
				US 1979-6046	19790124
	US 4261996	A	19810414	US 1980-111148	19800111
				US 1979-6044	19790124
				US 1979-19020	19790308
	US 4261997	A	19810414	US 1980-111160	19800111
				US 1979-6045	19790124
	JP 55122781	A2	19800920	JP 1980-7373	19800123
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				US 1979-6045	19790124
				US 1979-6046	19790124

PATENT FAMILY INFORMATION:
FAN 1981:407321

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4247555	A	19810127	US 1980-111147	19800111

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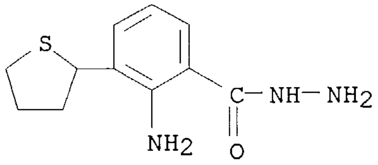
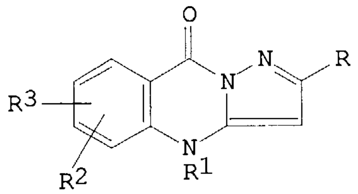
     DK 8000259          A     19800725      DK 1980-259       19800122
                                             US 1979-6044      19790124
                                             US 1979-6045      19790124
                                             US 1979-6046      19790124
                                             US 1979-19020     19790308
                                             US 1980-111147    19800111
                                             US 1980-111148    19800111
                                             US 1980-111160    19800111
     EP 15065            A1    19800903      EP 1980-300216    19800123
     EP 15065            B1    19831228
         R:  BE, CH, DE, FR, GB, IT, NL, SE
                                             US 1979-6044      19790124
                                             US 1979-6045      19790124
                                             US 1979-6046      19790124
                                             US 1980-111147    19800111
                                             US 1980-111148    19800111
                                             US 1980-111160    19800111
FAN  1981:497838
     PATENT NO.          KIND  DATE          APPLICATION NO.   DATE
     ---------------     ----  --------      ---------------   --------
PI   US 4261997          A     19810414      US 1980-111160    19800111
                                             US 1979-6045      19790124
     DK 8000259          A     19800725      DK 1980-259       19800122
                                             US 1979-6044      19790124
                                             US 1979-6045      19790124
                                             US 1979-6046      19790124
                                             US 1979-19020     19790308
                                             US 1980-111147    19800111
                                             US 1980-111148    19800111
                                             US 1980-111160    19800111
     EP 15065            A1    19800903      EP 1980-300216    19800123
     EP 15065            B1    19831228
         R:  BE, CH, DE, FR, GB, IT, NL, SE
                                             US 1979-6044      19790124
                                             US 1979-6045      19790124
                                             US 1979-6046      19790124
                                             US 1980-111147    19800111
                                             US 1980-111148    19800111
                                             US 1980-111160    19800111
FAN  1981:515584
     PATENT NO.          KIND  DATE          APPLICATION NO.   DATE
     ---------------     ----  --------      ---------------   --------
PI   US 4261996          A     19810414      US 1980-111148    19800111
                                             US 1979-6044      19790124
                                             US 1979-19020     19790308
     DK 8000259          A     19800725      DK 1980-259       19800122
                                             US 1979-6044      19790124
                                             US 1979-6045      19790124
                                             US 1979-6046      19790124
                                             US 1979-19020     19790308
                                             US 1980-111147    19800111
                                             US 1980-111148    19800111
                                             US 1980-111160    19800111
     EP 15065            A1    19800903      EP 1980-300216    19800123
     EP 15065            B1    19831228
         R:  BE, CH, DE, FR, GB, IT, NL, SE
```

US 1979-6044	19790124
US 1979-6045	19790124
US 1979-6046	19790124
US 1980-111147	19800111
US 1980-111148	19800111
US 1980-111160	19800111

IT **76746-39-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 76746-39-9 CAPLUS
CN Benzoic acid, 2-amino-3-(tetrahydro-2-thienyl)-, hydrazide (9CI) (CA INDEX NAME)



GI

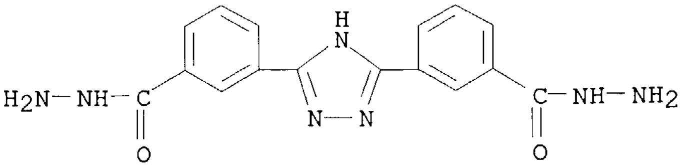


I

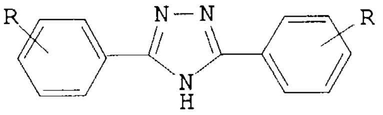
AB Pyrazoloquinazolinones I (R = CO2H, 5-tetrazolyl, 5-tetrazolylcarbamoyl; R1 = H, alkyl; R2 = H, OH, alkyl, alkoxy, halogen, CF3, alkylthio, alkylsulfinyl, alkylsulfonyl; R3 = H, OH, alkyl, alkoxy, 2-tetrahydrothienyl) were prepared Thus, 2,5-H2N(MeS)C6H3CONHNH2 was treated with di-Et oxalacetate Na salt to give I (R = CO2H, R1 = R2 = H, R3 = 7-SMe) which was oxidized with NaIO4 to the 7-SOMe derivative The latter compound was 76% inhibition of passive cutaneous anaphylaxis at 5 mg/kg i.p. in rats.

L3 ANSWER 53 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:529458 CAPLUS
DN 89:129458
TI Synthesis and reactions of triazole acids. IV. 3,5-Bis(carboxyphenyl)-1,2,4-triazoles and their derivatives
AU Sultangareev, R. G.; Lopyrev, V. A.; Rozinova, L. G.; Voronkov, M. G.
CS Irkutsk. Inst. Org. Khim., Irkutsk, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1978), (6), 848-9
CODEN: KGSSAQ; ISSN: 0453-8234
DT Journal
LA Russian
OS CASREACT 89:129458
IT **65697-96-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 65697-96-3 CAPLUS
CN Benzoic acid, 3,3'-(1H-1,2,4-triazole-3,5-diyl)bis-, dihydrazide (9CI)
(CA INDEX NAME)



GI



I

AB Oxidation of triazoles I (R = m-Me, p-Me), prepared in 36 and 55% yields from the corresponding oxadiazole and HCONH2, were oxidized by KMnO4 to give 48 and 59% I (R = m-CO2H, p-CO2H). The latter were esterified to give 63 and 78% I (R = m-CO2Me, p-CO2Me) followed by treatment with N2H4.H2O to give 60 and 41% I (m-CONHNH2, p-CONHNH2).

L3 ANSWER 54 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:512108 CAPLUS
DN 89:112108
TI Ordered heterocyclic copolymers
IN Preston, Jack
PA Monsanto Co., USA
SO U.S., 24 pp.
CODEN: USXXAM
DT Patent
LA English

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FAN.CNT 2
     PATENT NO.        KIND   DATE          APPLICATION NO.   DATE
     ---------------   ----   --------      ---------------   --------
PI   US 4087409         A     19780502      US 1969-850262     19690814
                                            US 1963-296395 A219630719
                                            US 1966-592347 A119661107

PATENT FAMILY INFORMATION:
FAN  1965:417525
     PATENT NO.        KIND   DATE          APPLICATION NO.   DATE
     ---------------   ----   --------      ---------------   --------
PI   NL 6408298         A     19650120      NL 1964-8298       19640720
                                            US 1963-296395 A 19630719
     BE 650774          A     19650120      BE 1964-650774     19640720
                                            US 1963-296395 A 19630719
     CH 513222          A     19710930      CH 1964-513222     19640720
                                            US 1963-296395 A 19630719
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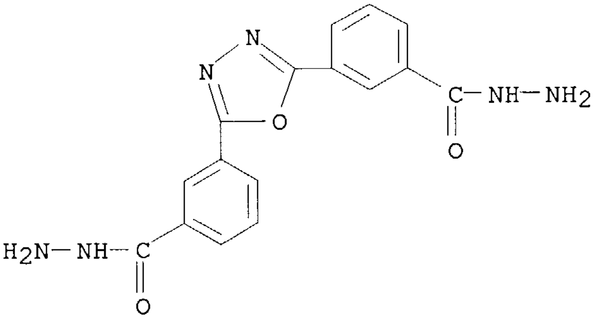
IT **67325-63-7**
RL: USES (Uses)
(heat-resistant)
RN 67325-63-7 CAPLUS
CN Benzoic acid, 3,3'-(1,3,4-oxadiazole-2,5-diyl)bis-, dihydrazide, polymer with N,N'-diphenyl-1,3-benzenedicarboximidoyl dichloride (9CI) (CA INDEX NAME)

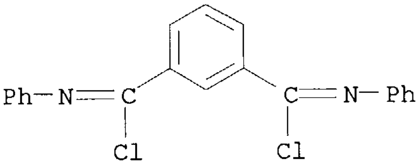
CM 1

CRN 2425-91-4
CMF C16 H14 N6 O3

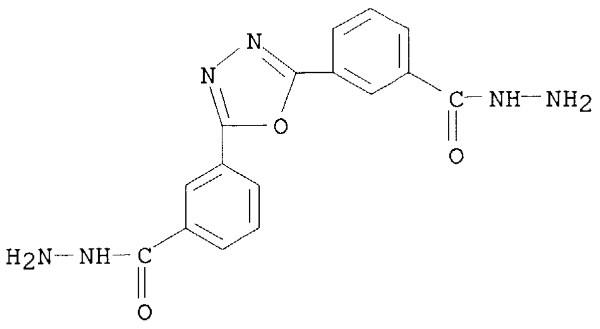


CM 2

CRN 2425-90-3
CMF C20 H14 Cl2 N2

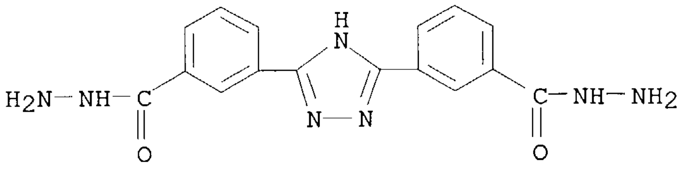


IT **2425-91-4P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of)
RN 2425-91-4 CAPLUS
CN Benzoic acid, 3,3'-(1,3,4-oxadiazole-2,5-diyl)bis-, dihydrazide (9CI) (CA INDEX NAME)

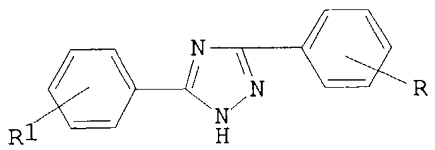


AB Heat-resistant heterocyclic polymers containing 2 or more different heterocyclic linkages occurring in an ordered sequence with aromatic linkages were prepared and spun into fibers. Typical polymers contained, e.g., oxadiazole and benzimidazole, thiazole and pyromellitimide, or benzoxazole and pyromelltimide rings. Fibers spun from these polymers are heat-resistant and have good phys. and elec. properties.

L3 ANSWER 55 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:89590 CAPLUS
DN 88:89590
TI Synthesis and absorption spectra of 3,5-diaryl-1,2,4-triazoles
AU Lopyrev, V. A.; Chipanina, N. N.; Rozinova, L. G.; Sarapulova, G. I.; Sultangareev, R. G.; Voronkov, M. G.
CS Inst. Org. Khim., Irkutsk, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1977), (12), 1682-5
CODEN: KGSSAQ; ISSN: 0453-8234
DT Journal
LA Russian
OS CASREACT 88:89590
IT **65697-96-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 65697-96-3 CAPLUS
CN Benzoic acid, 3,3'-(1H-1,2,4-triazole-3,5-diyl)bis-, dihydrazide (9CI) (CA INDEX NAME)



GI



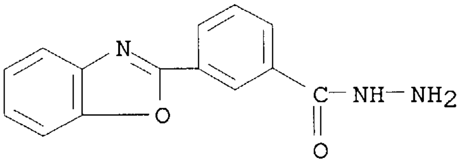
I

AB I (R = R1 = p-Me, m-Me, p-CO2H, m-CO2H, p-CO2Et, p-CO2Me, m-CO2Me, p-CONHNH2, m-CONHNH2; R = o-Me, R1 = m-Me; R = m-Me, R1 = p-Me; R = o-Me, R1 = p-Me) were prepared Most I had UV bands in the 201-8 and 230-90 nm regions. IR data were also discussed.

L3 ANSWER 56 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1975:410042 CAPLUS
DN 83:10042
TI Sunburn-preventing (2-benzoxazolyl)benzhydrazides
IN Moeller, Hinrich; Gloxhuber, Christian
PA Henkel und Cie. G.m.b.H.
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2327096	A1	19741219	DE 1973-2327096	19730528
	FR 2231398	A1	19741227	FR 1974-18469	19740528
				DE 1973-2327096	19730528

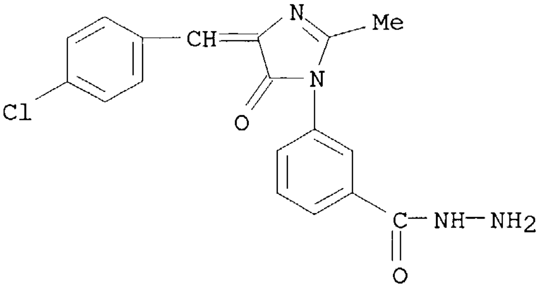
IT **55394-66-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of sunburn-preventing)
RN 55394-66-6 CAPLUS
CN Benzoic acid, 3-(2-benzoxazolyl)-, hydrazide (9CI) (CA INDEX NAME)



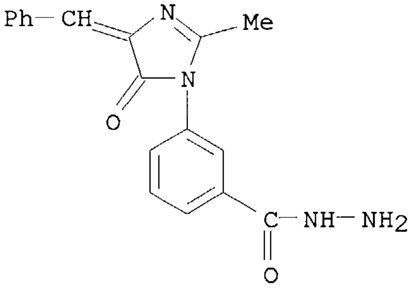
GI For diagram(s), see printed CA Issue.
AB About 15 benzhydrazides I [R = H, MeO, or HOCH2CH2NHCO; R1, R2 = H or Ac; R3 = H, Ac, CH2CH2OH, CO2CH2Ph, C6H4OMe-4, CONHPh, or C(:NH)NH2; or R2R3 = CMe2, salicylidene, or anisylidene; hydrazide radical in 2- or 4-position] were prepared I were inflammation inhibitors and prevented sunburn when tested i.p. and orally in the rat or the uv-irradiated mouse, resp. LD50 values were also given. Thus, Et 4-(2-benzoxazolyl)benzoate and N2H4.H2O were refluxed in EtOH to give 92% I (R = R1 = R2 = R3 = H; hydrazide radical in 4-position) (II). Reaction of II with PhNCO or Me2CO gave I (R = R1 = R2 = H, R3 = CONHPh) or I (R = R1 = H, R2R3 = CMe2), resp.

L3 ANSWER 57 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1975:51358 CAPLUS
DN 82:51358
TI Monoamine oxidase inhibitory and anticonvulsant properties of 1,2,4-trisubstituted 5-imidazolones
AU Verma, Mahima; Chaturvedi, Arvind K.; Chaudhari, Anshumali; Parmar, Surendra S.
CS King George's Med. Coll., Lucknow Univ., Lucknow, India
SO Journal of Pharmaceutical Sciences (1974), 63(11), 1740-4

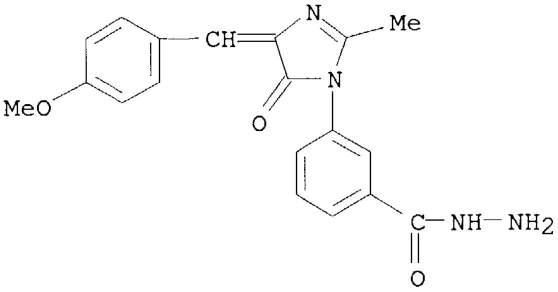
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA English
IT **53926-42-4P 53926-53-7P 53926-56-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and anticonvulsant activity and monoamine oxidase inhibition of)
RN 53926-42-4 CAPLUS
CN Benzoic acid, 3-[4-[(4-chlorophenyl)methylene]-4,5-dihydro-2-methyl-5-oxo-1H-imidazol-1-yl]-, hydrazide (9CI) (CA INDEX NAME)



RN 53926-53-7 CAPLUS
CN Benzoic acid, 3-[4,5-dihydro-2-methyl-5-oxo-4-(phenylmethylene)-1H-imidazol-1-yl]-, hydrazide (9CI) (CA INDEX NAME)



RN 53926-56-0 CAPLUS
CN Benzoic acid, 3-[4,5-dihydro-4-[(4-methoxyphenyl)methylene]-2-methyl-5-oxo-1H-imidazol-1-yl]-, hydrazide (9CI) (CA INDEX NAME)



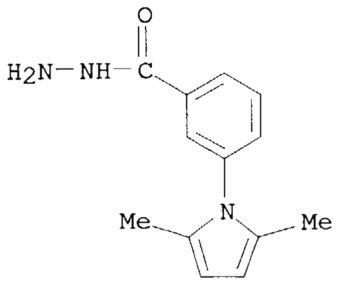
GI For diagram(s), see printed CA Issue.

AB Several 1,2,4-trisubstituted 5-imidazolones such as 1-m-carbohydrazinophenyl-2-methyl-4-p-chlorobenzylidene-5-imidazolone (I) [**53926-42-4**] were synthesized and characterized by their sharp m.p. and elemental analyses. All substituted imidazolones inhibited activity of monoamine oxidase [9001-66-5] in rat brain homogenate. Preincubation of the brain homogenates with these substituted imidazolones, prior to the addition of the substrate (kynuramine), in no way altered the degree of enzyme inhibition. On further evaluation, one of these compds. indicated the competitive nature of inhibition of monoamine oxidase. All substituted imidazolones possessed anticonvulsant activity ranging from 20 to 70% at a dose of 100 mg/kg; however, this activity was unrelated to their monoamine oxidase inhibitory effectiveness.

L3 ANSWER 58 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1970:100495 CAPLUS
DN 72:100495
TI 1-Phenylpyrroles
IN Pons, Andre L.; Robba, Max F.; Marcy, Rene H.; Duval, Denise J. C.
PA Innothera
SO Ger. Offen., 94 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

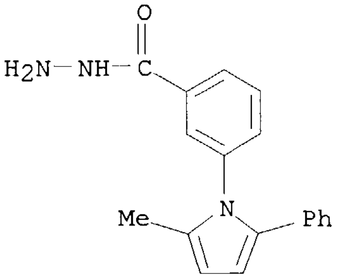
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1938904	A	19700205	DE 1969-1938904	19690731
				FR 1968-161664	19680802
				FR 1969-23303	19690709
	FR 7649	M	19700202	FR 1968-161664	19680802
	FR 2054474	A6	19710423	FR 1969-23303	19690709
	FR 2054474	B2	19730608		
	GB 1263940	A	19720216	GB 1969-1263940	19690731
				FR 1968-161664	19680802
				FR 1969-23303	19690709

IT **26165-65-1P 26180-35-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 26165-65-1 CAPLUS
CN Benzoic acid, m-(2,5-dimethylpyrrol-1-yl)-, hydrazide (8CI) (CA INDEX NAME)



RN 26180-35-8 CAPLUS

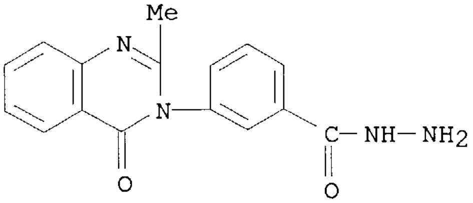
CN Benzoic acid, m-(2-methyl-5-phenylpyrrol-1-yl)-, hydrazide (8CI) (CA INDEX NAME)



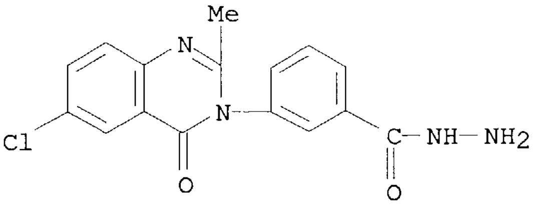
GI For diagram(s), see printed CA Issue.

AB 1-Phenylpyrroles (I) are prepared and investigated as pain killers and inflammation inhibitors for the treatment of arthritis, lumbago, and sciatica, Thus, 33 g γ-oxovalerophenone and 25 g m-aminobenzoic acid was heated at 195° to yield 95% I (R = m-CO2H, R1 = Me, R2 = Ph), m. 197° (EtOH), LD50 (mg/kg in mice) i.v. 113, i.p. 430, and digestive 1850. Ninety I were prepared and the LD50 determined for 20.

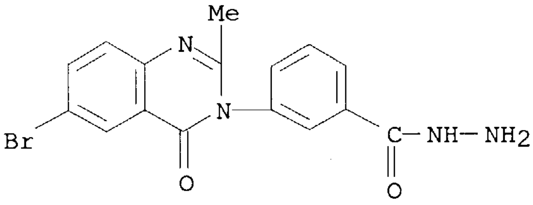
L3 ANSWER 59 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1968:459182 CAPLUS
DN 69:59182
TI Synthesis of substituted quinazolone hydrazides: the relation between chemical structure and monoamine oxidase inhibitory activity
AU Parmar, Surendra S.; Arora, R. C.
CS King George's Med. Coll., Lucknow Univ., Lucknow, India
SO Canadian Journal of Chemistry (1968), 46(15), 2519-24
CODEN: CJCHAG; ISSN: 0008-4042
DT Journal
LA English
IT **18410-03-2P 18410-04-3P 18410-05-4P**
18410-06-5P 18410-07-6P 18410-08-7P
18440-72-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 18410-03-2 CAPLUS
CN Benzoic acid, 3-(2-methyl-4-oxo-3(4H)-quinazolinyl)-, hydrazide (9CI) (CA INDEX NAME)



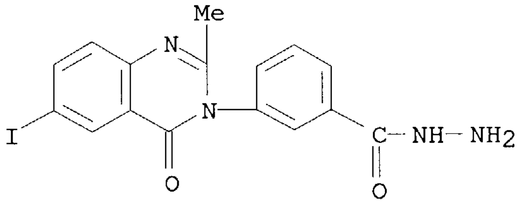
RN 18410-04-3 CAPLUS
CN Benzoic acid, 3-(6-chloro-2-methyl-4-oxo-3(4H)-quinazolinyl)-, hydrazide (9CI) (CA INDEX NAME)



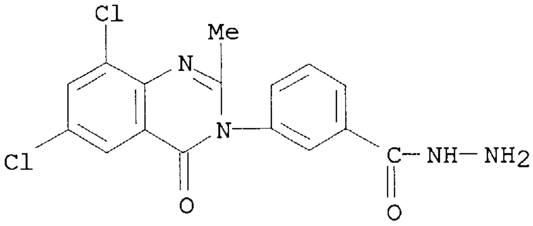
RN 18410-05-4 CAPLUS
CN Benzoic acid, 3-(6-bromo-2-methyl-4-oxo-3(4H)-quinazolinyl)-, hydrazide (9CI) (CA INDEX NAME)



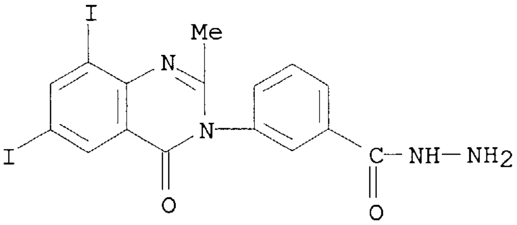
RN 18410-06-5 CAPLUS
CN Benzoic acid, 3-(6-iodo-2-methyl-4-oxo-3(4H)-quinazolinyl)-, hydrazide (9CI) (CA INDEX NAME)



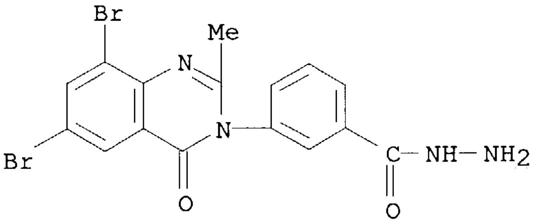
RN 18410-07-6 CAPLUS
CN Benzoic acid, 3-(6,8-dichloro-2-methyl-4-oxo-3(4H)-quinazolinyl)-, hydrazide (9CI) (CA INDEX NAME)



RN 18410-08-7 CAPLUS
CN Benzoic acid, 3-(6,8-diiodo-2-methyl-4-oxo-3(4H)-quinazolinyl)-, hydrazide (9CI) (CA INDEX NAME)



RN 18440-72-7 CAPLUS
CN Benzoic acid, 3-(6,8-dibromo-2-methyl-4-oxo-3(4H)-quinazolinyl)-, hydrazide (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB Several substituted quinazolinone hydrazides (e.g. I) were synthesized to investigate their ability to inhibit rat liver mitochondrial monoamine oxidase. The monoamine oxidase activity was measured manometrically as O uptake during oxidative deamination of tyramine. All quinazolinone hydrazides, except 2-methyl-3-(3'-benzhydrazide)-4-quinazolinone and 2-methyl-6,8-diiodo-3-(4'-phenylacetylhydrazide)-4-quinazolinone, inhibited monoamine oxidase activity when used at a final concentration of 3 + 10-4M. Substitution at position-6 or positions-6 and -8 of the quinazolinone nucleus increased enzyme inhibitory power of quinazolone hydrazides. Monosubstituted quinazolinone hydrazides exhibited maximum inbibitory effects while disubstitution resulted in a decrease. 25 references.

L3 ANSWER 60 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1966:473542 CAPLUS
DN 65:73542
OREF 65:13727b-c
TI Preparation of 1,3,4-oxadiazole-2,5-diylbisbenzhydrazide
IN Preston, Jack
PA Monsanto Co.
SO 2 pp.
DT Patent
LA Unavailable
FAN.CNT 1

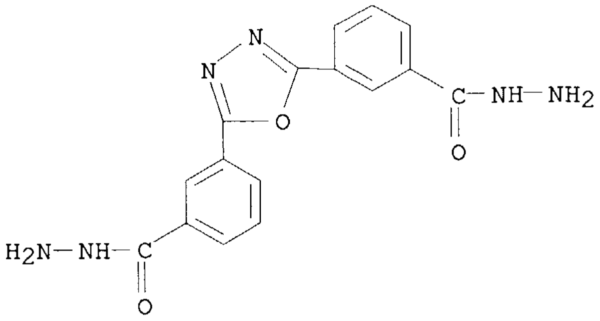
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3264320		19660802	US	19651108

IT **2425-91-4**, Benzoic acid, 3,3'-(1,3,4-oxadiazole-2,5-diyl)di-, dihydrazide

(preparation of)

RN 2425-91-4 CAPLUS

CN Benzoic acid, 3,3'-(1,3,4-oxadiazole-2,5-diyl)bis-, dihydrazide (9CI) (CA INDEX NAME)



AB The title compds., NH2NHC(O)Ar(R)XAr(R)C(O)NHNH2 (I), were prepared, where Ar is C1-C15 divalent aromatic hydrocarbon selected from single, multiple, and fused radicals, R may be H, and X is a 5 to 6 heterocycle which contains at least one of O, N, and S in the ring. E.g., a solution of 9 g. m-carbethoxybenzoyl chloride (Cohen, et al., CA 12, 805) in 20 ml. tetrahydrofuran (THF) was added to 26 g. N2H4.H2SO4 in 100 g. H2O and ice; 8 g. Na2CO3 was added, and the mixture stirred 10 min. The product, 7.7 g. N,N'-bis(m-carbethoxybenzoyl)hydrazide was converted to 2,5-bis(m-carboethoxyphenyl)-1,3,4-oxadiazole (17.8 g., m. 133-4°) (II) by treating with POCl3 and recrystg.(EtOH). A solution of 8 g. II in 300 ml. MeOH was refluxed with 9 g. 95% N2H4 3 hrs., and then cooled, to yield 2.8 g. I (Ar = Ph, R = H, X = C2N2O).

L3 ANSWER 61 OF 62 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1966:473541 CAPLUS
DN 65:73541
OREF 65:13726d-h,13727a-b
TI Benzotetraazapentalenes
IN Kauer, James C.
PA E. I. du Pont de Nemours & Co.
SO 7 pp.
DT Patent
LA Unavailable
FAN.CNT 1

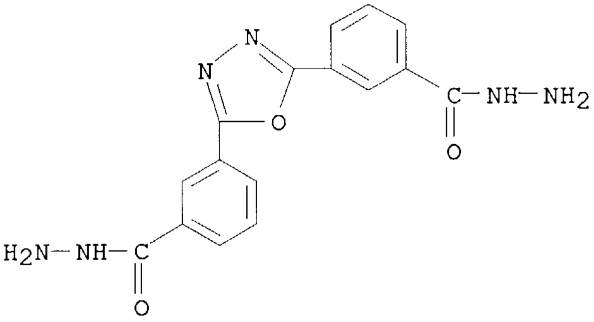
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3262943		19660726	US	19631107

IT **2425-91-4**, Benzoic acid, 3,3'-(1,3,4-oxadiazole-2,5-diyl)di-, dihydrazide

(preparation of)

RN 2425-91-4 CAPLUS

CN Benzoic acid, 3,3'-(1,3,4-oxadiazole-2,5-diyl)bis-, dihydrazide (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB The compds. described in U.S. 3,166,567 (CA 63, 7018d) are more easily prepared by a new process using R3P or (RO)3P to cyclize o-nitrophenyldiazo compds. (I) where R1, R2, and R3 may be components of a heterocyclic ring. Thus, a solution of 4.8 g. 1-(o-nitrophenyl)benzotriazole (II)and 11 g. (BuO)3hP in 100 ml. xylene was refluxed 18 hrs., cooled, and filtered to give 1.87 g. (another 0.35 g. was obtained by dilution with 300 ml. pentane) 2,3:4,5-dibenzo-1,3a,6,6a-tetraazapentalene (III) (loc. cit.). Similarly prepared were the yellow 3-nitro derivative of III, m. 290-6°, after CH2Cl2 elution through an alumina column; 2,3-benzo-4,5-bis(carbomethoxy)-1,3a,6,6a-tetraazapentalene, m. 127.0-8.4° MeOH and 3:1 C6H6-hexane; 3-carbomethoxy-4-methoxy-v-triazolo[3,4-α]quinoxaline(sic), m. 193.8-5.0° (EtOH, then MeOH), from 4,5-bis(carbomethoxy)1-(o-nitrophenyl)-1,2,3-triazole; and several others for which uv and ir spectral data are given. Nitration of 2,3-benzo-1,3a,6,6a-tetraazapentalene (IV) with 70% HNO3 yielded 2,3-(nitrobenzo)5-nitro-1,3a,6,6a-tetraazapentalene, m. 277.5-80.0° (Me2CO); 95% HNO3 gave the corresponding dinitrobenzo analog, m. 305.0-6.5 (decomposition) (Me2CO and 1:1 Me2CO-EtOH). A mixture of 0.84 g. IV and 0.70 g. [(NC)2CH2]2 in 20 ml. HCONMe2 was warmed 0.5 hr., poured onto ice, stirred 15 min., filtered, and washed to give purple (tricyano)vinyl-2,3-benzo-1,3a,6,6a-tetraazapentalene, m. 236.0-7.4° (5:3 C6H6-hexane). 1-(o-Azidophenyl)-4,5,6,7-tetrahydrobenzotriazole (V) (8.0 g.) in 50 ml. o-Cl2C6H4 was slowly added to 40 ml. o-Cl2C6H4 kept at 180°, the mixture heated 1 hr. and evaporated in vacuo at 70°, and the residue chromatographed on alumina with CH2Cl2 to give 53% 4,5-tetramethylene-2,3-benzo-1,3a,6,6a-tetraazapentalene, m. 176.5-7.5 (EtOH, then MeOH). Benzotriazole (25 g.), 33 g. o-ClC6H4NO2 (VI), and 25 g. anhydrous powdered NaOAc was heated 17 hrs. at 215°, the excess VI steam distilled, the residue dissolved in 150 ml. warm C6H6, the solution chromatographed on a silicic acid column, and the adsorbate eluted with C6H6 (the first 620 ml. discarded and the next 1900 ml. evaporated) to give 4.39 g. yellow 2-(o-nitrophenyl)benzotriazole (VII), m. 132-3° (EtOH). Reduction of VII with Na2S in aqueous EtOH gave 2-(o-aminophenyl)benzotriazole, m. 93.5-4.0°. Elution of the column used to isolate VII with CH2Cl2 gave 13.64 g. orange II, m. 118.9-20.0° (EtOH). A modification of the above procedure yielded 2-(onitrophenyl)-1,2,3-triazole, m. 27.0-7.5° (5:3 pentane-C6H6). 2,3,4,5-Dibenzo-1,3a,6,6a-tetraazapentalene (45 g.) in 650 ml. EtOH was hydrogenated at 125° and 1000 psi. over 3 g. Pd-C to give 30% 1-(o-aminophenyl)-4,5,6,7-tetrahydrobenzotriazole (VIII), m. 115.5-6.2° (C6H6-hexane). A solution of 13.95 g. VIII in 150 ml. concentrated/HCl and 100 ml. H2O was mixed with 1.50 g. ice and cooled to -20 to -25°, 4.8 g. NaNO2 in 30 H2O

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     slowly added, the cold mixture stirred 1 hr., an aqueous solution of 5.0 g.
NaN3
     slowly added, the mixture stirred 18 hrs. while the temperature rose to
     25°, the solution made alkaline with KOH, and the mixts. filtered to give
     11.7 g. V, m. 105.0-6.5 (C6H6-hexane).  A solution of 50 g. o-N3C6H4NO2 (IX)
     in 300 ml. Me2CO was heated 6 hrs. at 50- 70° in a 1-1. autoclave
     with C2H2 at 250 psi. and the product filtered and evaporated to give 31.7 g.
     1-(o-nitrophenyl)-1,2,3-triazole, m. 94.3-5.1° (3:1 C6H6-hexane).
     A solution of 41 g. IX and 40 g. (MeO2CC.tplbond.)2 in 25 ml. CHCl3 was kept
     at 30° 15 days, gave 59.5 g. 4,5-bis(carbomethoxy)-1-(o-
     nitrophenyl)-1,2,3-triazole, m. 87.5-8.0° (aqueous MeOH, then 3:1
     C6H6-hexane).  Since the title compds. absorb uv light they are useful in
     preparing sunburn screening compns.

L3   ANSWER 62 OF 62  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1965:417525  CAPLUS
DN   63:17525
OREF 63:3129d-f
TI   Heterocyclic polycondensates
PA   Monsanto Co.
SO   27 pp.
DT   Patent
LA   Unavailable
FAN.CNT 2
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   NL 6408298         A    19650120      NL 1964-8298       19640720
                                           US 1963-296395 A 19630719
     BE 650774          A    19650120      BE 1964-650774   19640720
                                           US 1963-296395 A 19630719
     CH 513222          A    19710930      CH 1964-513222   19640720
                                           US 1963-296395 A 19630719
PATENT FAMILY INFORMATION:
FAN  1978:512108
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   US 4087409         A    19780502      US 1969-850262   19690814
                                           US 1963-296395 A219630719
                                           US 1966-592347 A119661107
IT   2425-91-4, Benzoic acid, 3,3'-(1,3,4-oxadiazole-2,5-diyl)di-,
     dihydrazide
        (condensation (poly-) of, with N,N'-diphenylisophthalimidoyl chloride
        to plastic films)
RN   2425-91-4  CAPLUS
CN   Benzoic acid, 3,3'-(1,3,4-oxadiazole-2,5-diyl)bis-, dihydrazide (9CI)  (CA
     INDEX NAME)
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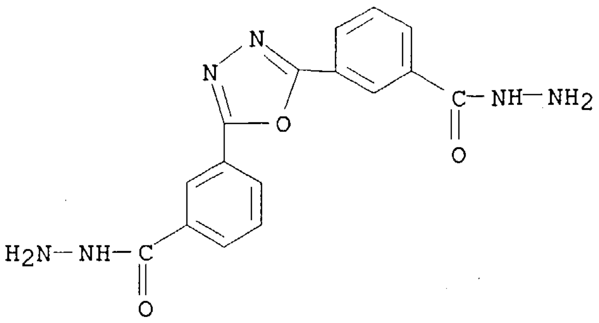

AB Heat-resistant polycondensates with different heterocyclic groups in the chain are prepared by reaction of a heterocyclic group containing a bifunctional group with another bifunctional compound, which may contain a heterocyclic group, with the formation of new heterocyclic rings during condensation. Examples are reaction products of 2,5-bis(p-aminophenyl)-1,3,4-oxadiazole (I) or 3,5-bis(m-aminophenyl)-4-phenyl-1,2,4-triazole or m-bis[(4-(p-aminophenyl)thiazole-2-yl]benzene or 2,5-bis(m-aminophenyl)-1,3,4-oxadiazole with pyromellitic dianhydride (II). Further examples are the reaction products of 2,5-bis(m-carboxyphenyl)-1,3,4-oxadiazole with 3,3'-diaminobenzidine, of the dihydrazide of 2,5-bis(m-carboxyphenyl)-1,3,4-oxadiazole with N,N'-diphenylisophthalimidoyl chloride, or of 2,5-bis(3,4-diaminophenyl)-1,3,4-oxadiazole with diphenylisophthalate or sebacic acid. Thus, a cooled solution of 2.52 g. I in 18 ml. AcNMe2 was mixed with 2.02 g. II and the solution was stirred for 1 hr. at 0° and for 6 hrs. at room temperature Films were cast from the solution and were dried at 110-20°. The films were treated for 24 hrs. with a mixture of pyridine and Ac2O, then washed with dioxane and dried at 110°. The resulting films were drawn at 270° and at 400-25°. The films were strong and could be heated in air at 475° without decomposition